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                 INPADOCDB/INPAFAMDB: Enhancements to the US national
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         DEC 18 ReaxysFile available on STN
NEWS 14
         DEC 21 CAS Learning Solutions -- a new online training experience
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         DEC 22 Value-Added Indexing Improves Access to World Traditional
                 Medicine Patents in CAplus
                 The new and enhanced DPCI file on STN has been released
NEWS 16
         JAN 24
NEWS 17
                 Improved Timeliness of CAS Indexing Adds Value to
         JAN 26
                 USPATFULL and USPAT2 Chemistry Patents
NEWS 18
                 Updated MeSH vocabulary, new structured abstracts, and
         JAN 26
                 other enhancements improve searching in STN reload of
                 MEDLINE
NEWS 19
         JAN 28
                 CABA will be updated weekly
NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,
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```

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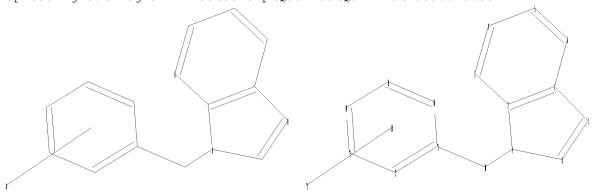
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chain nodes :

10 17

ring nodes :

 $1 \quad 2 \quad 3 \quad 4 \quad 5 \quad 6 \quad 7 \quad 8 \quad 9 \quad 11 \quad 12 \quad 13 \quad 14 \quad 15 \quad 16$

chain bonds :

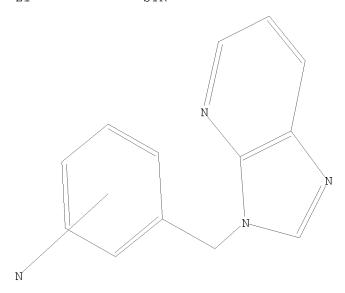
9-10 10-11
ring bonds:
1-2 1-6 1-7 2-3 2-9 3-4 4-5 5-6 7-8 8-9 11-12 11-16 12-13 13-14 14-15
15-16
exact/norm bonds:
1-7 2-9 7-8 8-9 9-10
exact bonds:
10-11
normalized bonds:

Match level:
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 11-12 \quad 11-16 \quad 12-13 \quad 13-14 \quad 14-15 \quad 15-16$

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11 SAMPLE SEARCH INITIATED 09:57:59 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 1026 TO ITERATE

100.0% PROCESSED 1026 ITERATIONS 23 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 18599 TO 22441
PROJECTED ANSWERS: 173 TO 747

=> s 11 full

FULL SEARCH INITIATED 09:58:08 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 20169 TO ITERATE

100.0% PROCESSED 20169 ITERATIONS

524 ANSWERS

SEARCH TIME: 00.00.01

L3 524 SEA SSS FUL L1

=> s 13 and caplus/lc

73628895 CAPLUS/LC

446 L3 AND CAPLUS/LC

=> s 13 not 14

L5 78 L3 NOT L4

=> d 15 78

L5 ANSWER 78 OF 78 REGISTRY COPYRIGHT 2011 ACS on SIN RN 581092-10-6 REGISTRY ED Entered STN: 08 Sep 2003 CN Propanamide, N-[2-butyl-7-methyl-3-[(4-nitrophenyl)methyl]-3H-imidazo[4,5-b]pyridin-6-yl]-2,2-dimethyl- (CA INDEX NAME) MF C23 H29 N5 O3 SR Chemical Library Supplier: AsInEx LC STN Files: CHEMCATS

$$\begin{array}{c} \overset{\bullet}{\text{L-Bu-C-NH}} & \overset{\text{Me}}{\text{Ne}} & \overset{\text{Bu-n}}{\text{N-CH}_2} \\ & \overset{\bullet}{\text{NO}_2} & \overset{\bullet}{\text{NO}_2} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 RN ED CN

ANSWER 70 OF 524 REGISTRY COPYRIGHT 2011 ACS on STN 864172-18-9 REGISTRY
Entered STN: 29 Sep 2005
4-Piperidinemethanamine, 1-methyl-N-[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)
C23 H31 N5
CA
STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 RN ED CN

ANSMER 71 OF 524 REGISTRY COPYRIGHT 2011 ACS on STN 864172-17-8 REGISTRY Entered STN: 29 Sep 2005 4-Piperidinemethanamine, 1-(tetrahydro-2H-pyran-4-yl)-N-[4-[(2,5,7-trinethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME) C27 H37 N5 O

STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 72 OF 524 REGISTRY COPYRIGHT 2011 ACS on STN RN 864172-16-7 REGISTRY ED Entered STN: 29 Sep 2005 CN 4-Piperidinemethanamine, N-[4-[(2,5,7-trimethyl)-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

MF C22 H29 N5 SR CA

MF SR CA

STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 73 OF 524 REGISTRY COPYRIGHT 2011 ACS on STN 864172-15-6 REGISTRY Entered STN: 29 Sep 2005 4-Piperidinemethanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-1-(1-methylethyl)- (CA INDEX NAME) C26 H37 N5

MF SR LC CA
STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 74 OF 524 REGISTRY COPYRIGHT 2011 ACS on STN 864172-14-5 REGISTRY
Entered STN: 29 Sep 2005
2H-Pyran-4-amine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-ylmethyl]phenyl]tetrahydro- (CA INDEX NAME)
C22 H28 N4 O
CA
STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

MF SR LC

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ED CN

ANSMER 75 OF 524 REGISTRY COPYRIGHT 2011 ACS on STN 864172-13-4 REGISTRY
Entered STN: 29 Sep 2005
4-Piperidinemethanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME) NAME) C28 H39 N5 O CA

CA STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

- ANSMER 77 OF 524 REGISTRY COPYRIGHT 2011 ACS on STN 864172-11-2 REGISTRY Entered STN: 29 Sep 2005 Cyclohexanol, 4-[[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]amino]methyl]-, trans- (CA INDEX NAME) STEREOSEARCH C24 H32 N4 O CA STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

- FS MF SR LC

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

- ANSWER 76 OF 524 REGISTRY COPYRIGHT 2011 ACS on STN 864172-12-3 REGISTRY Entered STN: 29 Sep 2005 2H-Pyram-4-methanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-y-l)methyl]phenyl]tetrahydro- (CA INDEX NAME) C23 H30 N4 O CA L3 RN ED CN

MF SR LC

CA STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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223.44 223.67

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FILE COVERS 1907 - 11 Feb 2011 VOL 154 ISS 8
FILE LAST UPDATED: 10 Feb 2011 (20110210/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

L6 ANSWER 1 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 2009:1475555 CAPLUS DOCUMENT NUMBER: 152:12353

152:12353
Preparation of imidazopyridine derivatives as GPR4
receptor modulators for treating angiogenesis, pain,
autoimmune and inflammatory diseases
Taracido, Ivan Cornella; Harrington, Edmund Martin;
Hersperger, Rene; Lattmann, Rene; Miltz, Wolfgang;
Weigand, Klaus
USA TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

U.S. Pat. Appl. Publ., 50pp. CODEN: USXXCO

DOCUMENT TYPE: English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATE	TME	NO.			KIN	D	DATE			APPL:	ICAT	ION :	NO.		D	ATE	
						-									-		
US 2	US 20090291942				A1		2009	1126	US 2009-468706						20090519		
AR	AR 71884				A1 20100721			AR 2009-101846						20090522			
WO 2	WO 2009144201 A1 20				2009	0091203 WO 2009-EP56311						20090525					
	W:	AE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	ST,	SV,	SY,	TJ,
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW		
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MK,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,
		TD,	TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,
		ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM						
PRIORITY	APP	LN.	INFO	. :						EP 2	008-	1569	33	2	A 21	0800	526

MARPAT 152:12353 OTHER SOURCE(S):

ANSWER 1 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) 1-Piperidinepentanamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

RN 1197879-88-1 CAPLUS
CN 1-Pyrrolidinepentanamide,
3-(dimethylamino)-N-[4-[(2-ethyl-5,7-dimethyl-3H-inidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-(CA INDEX NAME)

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of novel imidazopyridine derivs. as GPR4 receptor modulators

lators for treating angiogenesis, pain, autoimmune and inflammatory diseases) 1197880-45-7 CAPLUS

RN 119788U-45-7 CAPLUS
CN Pentanamide,
5-chloro-N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

137421-61-5P

ANSWER 1 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$\begin{array}{c} \text{Et} \\ \text{N} \\ \text{N} \\ \text{Me} \end{array} \qquad \begin{array}{c} \text{C} \\ \text{C} \\ \text{C} \\ \text{N} \end{array} \qquad \begin{array}{c} \text{Me} \\ \text{Me} \\ \end{array}$$

The title compds. I [R1 = alkyl optionally substituted by halo; R2, R3 = H, alkyl; XY = C.tplbond.C, CH.CH, CH.CF, CH.CELZ, NNCO, COMH; Z = CHZ, (CH2)2, (CH2)4, CO, a bond; R4 = H, alkyl and R5 = alkyl substituted by heterocyclyl; or NR4R5 = heterocyclyl or heteroaryl], useful in the treatment of diseases and disorders which may e.g. involve angiogenesis and/or pain, including autoimmune and inflammatory diseases, were prepared

For example, a multi-step synthesis of II, starting from 2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridine and 4-lodobenzyl bromide, was given. Exemplified compds. I were tested in cell-based assay for human GPR4 activity. For example, II showed IC50 of 189 nM. Pharmaceutical compns. comprising the compound I, alone or in combination with other therapeutic agent, were disclosed. 1197879-86-9P 1197879-87-OP 1197879-88-1P RL: PAC (Pharmacological activity), SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

es) (preparation of novel imidazopyridine derivs. as GPR4 receptor modulators

lators
for treating angiogenesis, pain, autoimmune and inflammatory diseases)
1197879-86-9 CAPLUS
1-Pyrrolidinepentanamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

Me N
$$\rightarrow$$
 NH \rightarrow CH \rightarrow NH \rightarrow NH \rightarrow CH \rightarrow NH \rightarrow NH \rightarrow CH \rightarrow NH \rightarrow

RN 1197879-87-0 CAPLUS

ANSWER 1 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$\stackrel{\text{Me}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{N}}{\underset{\text{N}}{\longrightarrow}} \stackrel{\text{Et}}{\underset{\text{CH}_2}{\longrightarrow}} \stackrel{\text{N}}{\underset{\text{NH}_2}{\longrightarrow}}$$

147:38462 A novel method for assessing inhibition of ibuprofen chiral inversion and its application in drug TITLE:

AUTHOR (S):

CORPORATE SOURCE:

SOURCE.

DUBLISHER.

DOEIN: JUPHDE; ISSN: 0378-5173

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An inhibition assay to assess the potential for chiral inversion of compds. was developed using R(-)-ibuprofen as the probe substrate.

Inhibition of the chiral inversion of R(-)-ibuprofen by structurally similar compds. in cryopreserved rat hepatocytes was studied using chiral HPLC and LC/MS methods for the chromatog, separation and detection of enantiomers. Concept validation of this assay was performed with three com. available compds. and four Pfizer compds. The results of these studies demonstrated that compds. that are structurally similar to ibuprofen inhibited the formation of S(+)-ibuprofen, suggesting that they may undergo similar enzymic chiral inversion pathways or compete for the same enzyme active sites. Addnl., an application of this assay in early drug discovery for a specific class of compds. was demonstrated. Thirty-three inhouse compds. were screened for their chiral inversion potential utilizing this assay to investigate the structure activity relationship for this class of compds.

IT 148550-05-4

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PRCC (Process); USES (Uses) (ibuprofen chiral inversion inhibition assay and its application in drug discovery)

the discovery) discovery) the first limit to a say and its application in daing discovery) discovery limit to a say and the application in daing discovery limit to a say and the application in daing limit to a say and the application in daing limit to a say and the application in daing limit to a say and the application in daing limit to a say and the application in daing limit to a say and the application in daing limit to a say and the application in daing limit to a say and the application in daing limit to a say and the application in daing limit to application in

Absolute stereochemistry.

OS.CITING REF COUNT: THERE ARE 1 CAPLUS RECORDS THAT CITE THIS

(1 CITINGS)
THERE ARE 18 CITED REFERENCES AVAILABLE FOR REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 3 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2007:281164 CAPLUS
DOCUMENT NUMBER: 146:309332
Preventives and/or remedies for X-ray induced lung
injury containing GPR4 signaling inhibitors
Hiura, Naokoy Mie, Genya; Oshima, Etsuo; Manabe,
Haruhiko; Watanabe, Akihiko
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 43pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: PAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. JP 2007063261 PRIORITY APPLN. INFO.: A 20070315 JP 2006-207645 JP 2005-223037

OTHER SOURCE(S): MARPAT 146:309333

\(\text{SOURCE(S):}\) MARPAT 146:309333 The invention provides a preventive and/or remedy for X-ray induced lung injury characterized by containing a component which inhibits signal transduction of GPR4 (G protein-coupled receptor 4), including an antisense an oligonucleotide, antibody, and imidazopyridine derivative

For example, a compound 2-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-ylmethyl)-8-(4-methylpiperazin-1-ylmethyl)-10,11-dihydro[b,f]azepine difumarate was prepared, and examined for its GPR4 antagonistic effect

and

TNF-α production inhibitory effect in vitro.
137421-60-4P 137421-61-5P 927822-00-2P
927822-02-4P 927822-04-6P 927822-05-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of preventives and/or remedies for X-ray induced lung IT

injury

containing GPR4 signaling inhibitors)

RN 137421-60-4 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine,
2-ethyl-5,7-dimethyl]-3-[(4-nitrophenyl)methyl](CA INDEX NAME)

137421-61-5 CAPLUS
Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

L6 ANSWER 2 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN

(Continued)

ANSWER 3 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

927822-00-2 CAPLUS Methanone, [4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

927822-02-4 CAPLUS

Cyclohexanecarboxylic acid, 4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, ethyl ester (CA INDEX NAME)

927822-04-6 CAPLUS

Cyclohexanecarboxylic acid, 4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]- (CA INDEX NAME)

927822-05-7 CAPLUS Methanone, [4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl](4-methyl-1-piperazinyl)-, ethanedioate (1:7) (CA INDEX NAME)

CM 1

CRN 927822-00-2 C29 H40 N6 O

2 CM

864171-66-4P 864172-38-3P RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses

(preventives and/or remedies for X-ray induced lung injury containing GPR4

signaling inhibitors)
864171-66-4 CAPLUS
Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-[trans-4-[(4-methyl-1-piperazinyl)methyl]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.

864172-38-3 CAPLUS

S041/2-30-3 CAPLOS Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-[cis-4-[(4-methyl-1-piperazinyl)methyl]cyclohexyl]- INDEX NAME)

Relative stereochemistry.

L6 ANSMER 4 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2007:227775 CAPLUS
DOCUMENT NUMBER: 146:266782 Chemokine production inhibitor
INVENTOR(S): Saki, Mayumi; Miura, Kazumi; Sasaki, Katsutoshi
Kyowa Hakko Koqyo Co., Ltd., Japan
FORT Int. Appl., 83pp.
CODEN: FIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE ENT NO. KIND DATE APPLICATION NO. DATE

2007023880 A1 20070301 W0 2006-UP316560 20060824

W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BB, BR, BM, BW, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, II, IN, IS, JP, KE, KG, KN, KN, KK, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, NN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, CM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SI, SI, SM, SV, SY, TJ, TM, TT, TT, TG, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

EW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LU, LV, MC, NI, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, MC, MM, MI, MR, NE, SN, TD, TG, BW, GH, CM, KE, LS, MM, XV, NA, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, EY, KN, KZ, MD, KU, TJ, TM

APPLIN. INTO::

DATE

2007023880

20060824

200608284 WO 2007023880 PRIORITY APPLN. INFO.: JP 2005-242994 A 20050824

OTHER SOURCE(S): MARPAT 146:266782

Disclosed are a chemokine production inhibitor comprising a substance

AB Disclosed are a chemokine production inhibitor compliating a constant of inhibiting the function involved in signal transduction of GPR4 as an active ingredient; a chemokine production inhibitor comprising a nitrogenated tricyclic compound represented by the formula I (R1 represents a (un)substituted heterocyclic group, -NR5aR5b where R5a and R5b independently represent H, a (un)substituted lower alkyl group or the like; R2 represents a H or the like; R3 and R4 independently represent a

or the like; n=0 or 1; X represents -(CH2)2 or the like; and Y represents the formula II where Z represents CH or N; R8a and R8b independently represent H, a (un)substituted lower alkyl group or the like; and R9 represents H or the like), or a quaternary ammonium salt or pharmacol. acceptable salt thereof as an active ingredient; and others. The chemokine production inhibitor includes antisense oligonucleotide and

ANSWER 3 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

L6 ANSWER 4 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) antibody which binds specified proteins. For example, a compd. 2-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-ylmethyl)-8-(4-methylpiperazin-1-ylmethyl)-10,11-dindyro[b,f]azepine diffumarate was prepd., and examd. for its GPR4 antagonistic effect and IL-8 prodn. inhibitory effect in vitro.

IT 864171-83-5P 864171-86-8P RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USes) (chemokine production inhibitor comprising substances capable of inhibiting GPR4 signal transduction)

RN 864171-83-5 CAPLUS

CN Methanone, (4-methyl-1-piperazinyl)[trans-4-[[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.

864171-86-8 CAPLUS

 $\label{eq:controlled} Carbos amide, N-\{2-(1-pyrrolidiny1)ethy1\}-4-[4-[(2,5,7-trimethy1-3H-imidazo[4,5-b]pyridin-3-y1)methy1]pheny1]amino]-, trans-$

(CA INDEX NAME)

Relative stereochemistry.

IT 864172-71-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(chemokine production inhibitor comprising substances capable of inhibiting

L6

ANSWER 4 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
GPR4 signal transduction)
864172-71-4 CAPLUS
Cyclohexanecarboxylic acid, 4-[[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]phenyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.

864172-28-1P

Relative stereochemistry.

REFERENCE COUNT:

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 5 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN nitrophenyl)methyl]- (CA INDEX NAME) (Continued)

Me N
$$Bu-n$$
 NO_2

THERE ARE 18 CAPLUS RECORDS THAT CITE THIS

REFERENCE COUNT:

RECORD (18 CITINGS)
THERE ARE 24 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 5 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2006:1224882 CAPLUS 146:178505 DOCUMENT NUMBER:

TITLE:

146:178505
Identification of Previously Unrecognized
Antiestrogenic Chemicals Using a Novel Virtual
Screening Approach
Wang, Ching Y.; Ai, Ni; Arora, Sonia; Erenrich, Eric;
Nagarajan, Karthigeyan; Zauhar, Randy; Young,

AUTHOR(S):

Douglas;

Welsh, William J.
Department of Pharmacology, University of Medicine CORPORATE SOURCE:

Dentistry of New Jersey-Robert Wood Johnson Medical School (UMDNJ-RWJMS), Piscataway, NJ, 08854, USA Chemical Research in Toxicology (2006), 19(12), 1595-1601 SOURCE.

Topic in humans and wildlife. As such, these EDCs may cause

cause
unanticipated and even undesirable effects. Large-scale in vitro and in
vivo screening of chems. to assess their estrogenic activity would demand
a prodigious investment of time, labor, and money and would require
animal

testing on an unprecedented scale. Approaches in silico are increasingly recognized as playing a vital role in screening and prioritizing chems.

extend limited resources available for exptl. testing. Here, we

evaluated

IT

uated
a multistep procedure that is suitable for in silico (virtual) screening
of large chemical databases to identify compds. exhibiting estrogenic
activity. This procedure incorporates Shape Signatures, a novel
computational tool that rapidly compares mols. on the basis of similarity
in shape, polarity, and other bio-relevant properties. Using 4-hydroxy
tamoxifen (4-OH TAM) and diethylstilbestrol (DES) as input queries, we
employed this scheme to search a sample database of .apprx.200 000 com.
available organic chems. for matches (hits). Of the eight compds.
tified

computationally as potentially (anti)estrogenic, biol. evaluation confirmed two as heretofore unknown estrogen antagonists. Subsequent radioligand binding assays confirmed that two of these three compds. exhibit antiestrogenic activities comparable to 4-0H TAM. Mol. modeling studies of these ligands docked inside the binding pocket of estrogen receptor a (ERa) elucidated key ligand-receptor interactions that corroborate these exptl. findings. The present study demonstrates the utility of our computational scheme for this and related applications in drug discovery, predictive toxicol., and virtual screening.

PLI BSU (Bological study predictive toxicol.)

921198-76-7
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(identification of previously unrecognized antiestrogenic chems. using a novel vitual screening approach)
921198-76-7 CAPLUS
3H-Imidazo[4,5-b]pyridin-5-amine, 2-butyl-6-methyl-3-[(4-

L6 ANSMER 6 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2005:985324 CAPLUS
DOCUMENT NUMBER: 143:272565
TITLE: pharmaceutical combination comprising a sulfonamide
INVENTOR(S): Kayakiri, Hiroshi; Kato, Takeshi; Minoura, Hideaki;
Hirosumi, Jiro
SOURCE: U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
DOCUMENT TYPE: Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.			KIND DATE				ADDITIONATION NO						DATE			
PAT	ENT I	NO.			KIND		DAIL			APPLICATION NO.					D	ATE	
US	US 20050197376				A1 20050908			US 2005-68747						20050302			
WO	WO 2005082414				A2 20050909				WO 21	005-	JP40:	22		20050302			
WO	WO 2005082414				A3 20060629												
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,
		SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,
ZW																	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
		MR,	NE,	SN,	TD,	TG											
PRIORITY	ORITY APPLN. INFO.:								JP 21	004-	5755	5		A 21	0040	302	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S):

MARPAT 143:27256
B This invention provides a pharmaceutical combination containing a

sulfonamide

nnaming or a salt and a pharmaceutical selected from the group consisting of an α -glucosidase inhibitor, an insulin secretagogue, a sulfonylurea and a biguantide. The blood glucose level of a patient showed greater

decrease

by the combined administration of the test compound and a biguanide.

17 275381-12-9

RL: FAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical combination comprising sulfonamide)

RN 275381-12-9 CAPLUS

CN Carbamic acid,
[3-chloro-4-[[2-methyl-5-[[(pentylsulfonyl)amino]carbonyl]3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]methyl-, ethyl ester (9CI)

(CA INDEX NAME)

L6 ANSWER 6 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

OS.CITING REF COUNT: RECORD 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS

(1 CITINGS)

L6 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

The title compds. I [wherein R1 = H, halo, NH2, etc.; R2-R4 = independently H, halo, CN, etc.; Y = N or (un)substituted CH; X = (un)substituted NB; Z1-Z4 = independently N or (un)substituted CH; W = NO2, CHO, (un)substituted alkyl, etc.] or pharmaceutically acceptable salts thereof are prepared as G protein-coupled receptor (GPR4)

for the treatment of neutrophil inflammation. For example, the compound II

was prepared in a multi-step synthesis. II inhibited 98% of human GPR4 at

at
the concentration of 1 µM.

IT 863877-47-8P 863877-48-9P 863877-49-0P
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Intermediate; preparation of imidazo[4,5-b]pyridine derivs. as GPR4 receptor antagonists)
RN 863877-47-8 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine,
2-ethyl-3-[(4-fluoro-3-nitrophenyl)methyl]-5,7-dimethyl- (CA INDEX NAME)

863877-48-9 CAPLUS
Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-nitro- (CA INDEX NAME)

L6 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2005:979654 CAPLUS
DOCUMENT NUMBER: 143:266925
ITILE: Preparation of imidazo[4,5-b]pyridine derivatives as GPR4 receptor antagonists
INVENTOR(S): 1ida, Kyoichiro; Oʻtsubo, Nobumasa; Kuboyama, Takeshi;
... ...

PATENT ASSIGNEE(S):

Naoko; Manabe, Haruhiko; Takada, Hidenori; Saito, Jun Kyowa Hakko Kogyo Co., Ltd., Japan PCT Int. Appl., 215 pp. CODEN: PIXXD2 Patent Japanese

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

Hiura.

	PATENT NO.					KIND I		DATE		APPLICATION NO.						DATE		
	WO 2005082905			A1 200		2005	0050909		WO 2005-JP3687			20050225			225			
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	
ZW																		
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	
		MR,	NE,	SN,	TD,	TG												
PRIORITY APPLN. INFO.:			. :						JP 2	004-	5093	3		A 2	0040	226		

JP 2004-307947 A 20041022

OTHER SOURCE(S): MARPAT 143:266925

L6 ANSWER 7 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

863877-49-0 CAPLUS 1,2-Benzenediamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

OS.CITING REF COUNT: THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD

(5 CITINGS)
THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

FORMAT

L6 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 2005:979653 CAPLUS DOCUMENT NUMBER: 143:286426

TITLE:

143:286426
Preparation of imidazo[4,5-b]pyridine derivatives as GPR4 receptor antagonists for treatment of neutrophilic inflammation Idida, Kyolchiro, Otaubo, Nobumasa; Kuboyama, Takeshi; Arai, Hitoshi; Watanabe, Akihiko; Saki, Mayumi; INVENTOR(S): Hinra.

Naoko; Manabe, Haruhiko; Takada, Hidenori; Saito, Jun Kyowa Hakko Kogyo Co., Ltd., Japan PCT Int. Appl., 178 pp. CODEN: PIXXD2 Patent Japanese 1 PATENT ASSIGNEE(S):

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT:

ATE	NT :	INFOR	MATI	ON:														
PATENT NO.																		
																200502		
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,
			SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,
N																		
		RW:						MW,										
			ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
								BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
						TD,												
		2557																
	EP	1724																
		R:						CZ,										IE,
								MC,										
		2007						2007										
RIO	RIT:	APP:	LN.	INFO	. :						JP 21	004-	5093	4	1	A 21	0040	226
											JP 2	004-	30 79	48	i	A 21	0041	022

WO 2005-JP3656

W 20050225

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 143:286426 OTHER SOURCE(S):

PR

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
Benzoic acid, 4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, ethyl ester (CA INDEX NAME)

864171-57-3 CAPLUS
Methanone, [4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]phenyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

RN 864171-63-1 CAPLUS

OURITOOF CAPUS
1-PiperidinearDoxylic acid, 4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

864171-64-2 CAPLUS Cyclohexanecarboxylic acid, 4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, ethyl ester, trans- (CA INDEX

Relative stereochemistry.

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

AB The title compds. I [wherein R1 = H, halo, CN, etc.; A1-A2-A3-A4 = (un)substituted CH=CH-CH=CH, N=CH-CH=CH, CH=N-CH=CH, CH=CH-CH=CH, CH=CH-CH=N, PCH-CH=N-CH, CH=CH-CH=N; Q = (un)substituted phenylene, naphthylene, hateroarylene, etc.; T = CHO, (un)substituted alkyl, cycloalkyl, etc.] or pharmaceutically acceptable salts thereof are prepared as G protein-coupled receptor (GFR4) antagonists for the treatment of neutrophilic inflammation. For example, the compound II was prepared in a

of neutrophilic inflammation. For example, the compound II was prepared in a multi-step synthesis. II inhibited 97% of human GPR4 at the concentration of 1 m/s.

M.

IT 137421-62-60 864171-56-2P 864171-57-3P 864171-63-3P 864171-63-1P 864171-68-6P 864171-71-1P 864171-67-5P 864171-74-4P 864171-76-6P 864171-72-2P 864171-74-4P 864171-76-6P 864171-99-9P 864171-94-8P 864171-98-2P 864171-90-4P 864172-01-P 864172-01-P 864172-01-P 864172-01-P 864172-03-5P 864172-23-4P 864172-23-2P 864172-23-4P 864172-23-4P 864172-31-5P 864172-31-6P 864172-32-2P 864172-31-6P 864172-8P 864172-8P 864172-8P 864172-8P 864172-8P 864172-8P 8

13/421-62-6 CAPLOS Carbamic acid, [4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{picture}(20,0) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){100$$

RN 864171-56-2 CAPLUS

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 864171-65-3 CAPLUS
CN Methanone,
[trans-4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3yl)methyl]phenyl]amino]cyclohexyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

Relative stereochemistry.

864171-67-5 CAPLUS

RN 8041/1-0/7- CAIRGO
CM Methanne,
[trans-4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3yl)methyl]phenyl]amino]cyclohexyl]-4-morpholinyl- (CA INDEX NAME)

Relative stereochemistry.

RN 864171-68-6 CAPLUS
CN Methanone,
[trans-4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3yl)methyl]phenyl]amino]cyclohexyl]-l-pyrrolidinyl- (CA INDEX NAME)

Relative stereochemistry.

864171-71-1 CAPLUS
1-Piperazinecarboxylic acid, 4-[[trans-4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]phenyl]amino]cyclohexyl]carbonyl]-,
1,1-dimethylethyl ester (CA INDEX NAME)

Relative stereochemistry.

RN 864171-72-2 CAPLUS
CN Methanone,
[trans-4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl]-1-piperazinyl- (CA INDEX NAME)

Relative stereochemistry.

864171-74-4 CAPLUS

NN 0041/1-744 CAPLOS
CN Methanone,
[trans-4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3yl)methyl]phenyl]amino]cyclohexyl][4-(hydroxymethyl)-1-piperidinyl]- (CA
INDEX NAME)

Relative stereochemistry.

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

EN 864171-81-3 CAPLUS
CN Methanone,
[rans-4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl][4-(4-morpholinyl)-1-piperidinyl]- (CA INDEX NAME)

Relative stereochemistry.

864171-83-5 CAPLUS
Methanone, (4-methyl-1-piperazinyl)[trans-4-[[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 864171-90-4 CAPLUS
CN Cyclohexanecarboxamide,
4-[[4-[(2-ethyl-7-methyl-3H-imidazo[4,5-b]pyridin3-y1)methyl]phenyl]amino]-N-[2-(4-morpholinyl)ethyl]-, trans- (CA INDEX NAME)

Relative stereochemistry.

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864171-76-6 CAPLUS Cyclohexanecarboxamide, 4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]-, trans-(CA INDEX NAME)

Relative stereochemistry.

864171-79-9 CAPLUS Cyclohexanecarboxamide, 4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]amino]-N-[2-(4-morpholinyl)ethyl]-, trans-(CA INDEX NAME)

Relative stereochemistry.

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864171-94-8 CAPLUS Cyclohexanecarboxamide, 4-[[4-[(2,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-N-[2-(4-morpholinyl)ethyl]-, trans- (CA INDEX NAME)

Relative stereochemistry.

864171-98-2 CAPLUS
4-Fiperidinamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

RN 864172-00-9 CAPLUS CN 1-Piperidinecarboxylic acid, 4-[[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) b]pyridin-3-y1)methyl]phenyl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

BM 864172-01-0 CAPLUS

Odd172-01-0 CARDOS 44-Piperidinemethanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

864172-02-1 CAPLUS
1,4-Dioxaspiro[4.5]decane-8-methanamine,

 $\begin{array}{l} {\rm N-\,[4-[\,(2-ethyl-5,\,7-dimethyl-3H-imida\,zo\,[4,5-b]\,pyridin-3-yl)\,methyl]phenyl]-(CA\,INDEX\,\,NAME)} \end{array}$

RN

864172-06-5 CAPLUS
Cyclohexanone, 4-[[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]methyl]- (CA INDEX NAME) CN

864172-07-6 CAPLUS

1,4-Dioxaspiro[4.5]decan-8-amine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864172-28-1 CAPLUS Cyclohexanecarboxylic acid, 4-[[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]phenyl]amino]-, ethyl ester, trans- (CA INDEX

Relative stereochemistry.

864172-29-2 CAPLUS
Cyclohexanecarboxylic acid, 4-[[4-[(2-ethyl-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, ethyl ester, trans- (CA INDEX NAME.)

Relative stereochemistry.

RN 864172-30-5 CAPLUS
CN Cyclohexanecarboxylic acid,
4-[[4-[(2,7-dimethyl-3H-inidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, ethyl ester, trans- (CA INDEX NAME)

Relative stereochemistry.

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 864172-16-7 CAPLUS
CN 4-Piperidinemethanamine,
N-[4-[2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

 $864172-23-6 \quad CAPLUS \\ 1-Fiperidine carboxylic acid, \\ 4-[[4-[(2-ethyl-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]methyl]-, \\ 1,1-dimethylethyl ester \quad (CAINDEX NAME)$

864172-24-7 CAPLUS

ON 4-Piperidinemethanamine,
N-[4-[(2-ethyl-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

864172-27-0 CAPLUS

Cyclohexanecarboxylic acid, 4-[[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, ethyl ester, cis- (CA INDEX NAME)

Relative stereochemistry.

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864172-31-6 CAPLUS Cyclohexanecarboxylic acid, 4-[[4-[[2-(2-furanyl)-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]amino]-, ethyl ester, trans-

(CA INDEX NAME)

Relative stereochemistry.

864172-35-0 CAPLUS
Cyclohexanecarboxylic acid, 4-[[4-[(5-chloro-2,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, ethyl ester, trans- (CA INDEX NAME)

Relative stereochemistry.

864172-37-2 CAPLUS Methanone, [cis-4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

(Continued)

Relative stereochemistry.

864172-39-4 CAPLUS Methanone, [cis-4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]mino]cyclohexyl]-4-morpholinyl- (CA INDEX NAME)

Relative stereochemistry.

864172-40-7 CAPLUS Methanone, [cis-4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl]-l-pyrrolidinyl- (CA INDEX NAME)

Relative stereochemistry.

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

7	864170-95-6P	864170-96-7P	864170-97-8P
	864170-98-9P	864170-99-0P	864171-00-6P
	864171-01-7P	864171-02-8P	864171-03-9P
	864171-04-0P	864171-05-1P	864171-06-2P
	864171-07-3P	864171-08-4P	864171-09-5P
	864171-10-8P	864171-11-9P	864171-12-0P
	864171-13-1P	864171-14-2P	864171-15-3P
	864171-16-4P	864171-17-5P	864171-18-6P
	864171-19-7P	864171-20-0P	864171-21-1P
	864171-22-2P	864171-23-3P	864171-24-4P
	864171-25-5P	864171-26-6P	864171-27-7P
	864171-28-8P	864171-29-9P	864171-30-2P
	864171-31-3P	864171-32-4P	864171-33-5P
	864171-34-6P	864171-35-7P	864171-36-8P
	864171-37-9P	864171-38-0P	864171-39-1P
	864171-40-4P	864171-41-5P	864171-42-6P
	864171-43-7P	864171-44-8P	864171-45-9P
	864171-46-0P	864171-47-1P	864171-48-2P
	864171-49-3P	864171-50-6P	864171-51-7P
	864171-52-8P	864171-53-9P	864171-54-0P
	864171-55-1P	864171-58-4P	864171-60-8P
	864171-61-9P	864171-62-0P	864171-66-4P
	864171-69-7P	864171-70-0P	864171-73-3P
	864171-75-5P	864171-78-8P	864171-80-2P
	864171-82-4P	864171-84-6P	864171-85-7P
	864171-86-8P	864171-87-9P	864171-88-0P
	864171-89-1P	864171-91-5P	864171-92-6P
	864171-93-7P	864171-95-9P	864171-96-0P
	864171-97-1P	864171-99-3P	864172-03-2P
	864172-04-3P	864172-05-4P	864172-09-8P
	864172-10-1P	864172-11-2P	864172-12-3P
	864172-13-4P		864172-15-6P
	864172-17-8P	864172-18-9P	864172-19-0P
		864172-21-4P	864172-22-5P
	864172-25-8P	864172-32-7P	864172-33-8P
		864172-36-1P	864172-38-3P
			864172-45-2P
		864172-48-5P	864172-49-6P
			864172-54-3P
	RL: PAC (Pharmaco	logical activity)	; SPN (Synthet:

RL: PAC (Pharmacological activity), SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazo[4,5-b]pyridine derivs. as GPR4 receptor antagonists for treatment of neutrophilic inflammation)
864170-95-6 CAPLUS
Benzamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-4-fluoro- (CA INDEX NAME)

864172-44-1 CAPLUS
Methanone, (4-methyl-1-piperazinyl)[cis-4-[[4-[(2,5,7-trimethyl-3H-inidazo[4,5-b]pyridin-3-y1)methyl]phenyl]amino]cyclohexyl]- (CA INDEX

Relative stereochemistry.

 $864172-51-0 \quad CAPLUS \\ Methanone, 4-morpholinyl[cis-4-[[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]phenyl]amino]cyclohexyl]- (CA INDEX NAME)$

Relative stereochemistry.

 $864172-53-2 \quad \text{CAPLUS} \\ \text{Methanone, } [4-(\text{hydroxymethyl})-1-\text{piperidinyl}][\text{cis-4-}[[4-[(2,5,7-\text{trimethyl-3H-inidazo}[4,5-b]]\text{pyridin-3-yl}]\text{methyl}]\text{phenyl}]\text{amino}]\text{cyclohexyl}]-\\ \text{(CA INDEX Notes)} \\ \text{(CA INDEX Notes)} \\$

Relative stereochemistry.

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 864170-96-7 CAPLUS CN Benzamide, 2-chloro-N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

864170-97-8 CAPLUS Benzamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

RN

864170-98-9 CAPLUS Benzanide, N-[4-1(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-4-methoxy- (CA INDEX NAME)

864170-99-0 CAPLUS
Benzamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-4-methyl- (CA INDEX NAME)

864171-00-6 CAPLUS Acetamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-2-phenoxy- (CA INDEX NAME)

864171-01-7 CAPLUS
Benzamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

864171-02-8 CAPLUS RN

CN Benzamide, 4-butoxy-N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]phenyl]- (CA INDEX NAME)

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864171-08-4 CAPLUS Cyclopentamecarboxamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

864171-09-5 CAPLUS

OG417-U3-3 CAPLUS CAPLUS (CPUIDpentanepropanamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

864171-10-8 CAPLUS

NO 004171=10-0 CATEBOS CN 2-Furancarboxamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

864171-11-9 CAPLUS
1-Naphthalenecarboxamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyxidin-3-yl)methyl]phenyl]- (CA INDEX NAME)

CHo-

Εt

864171-07-3 CAPLUS

Relative stereochemistry

RN CN

864171-12-0 CAPLUS 2-Thiophenecarboxamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

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ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN

864171-04-0 CAPLUS Acetamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

864171-05-1 CAPLUS Acetamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-2-methoxy- (CA INDEX NAME)

NH-C-CHo-OMe

864171-06-2 CAPLUS
Propanamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

CAPLOS CAPLOS CAPLOS (Cyclopropanecarboxamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-2-phenyl-, (1R,2R)-rel- (CA INDEX NAME)

(Continued)

(Continued)

864171-13-1 CAPLUS

CN 2-Thiopheneacetamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

RN 864171-14-2 CAPLUS

3-Pyridinecarboxamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

RN 864171-15-3 CAPLUS
CN 1,3-Benzodioxole-5-carboxamide,
N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

864171-16-4 CAPLUS

864171-17-5 CAPLUS Tricyclo[3.3.1.13,7]decane-1-carboxamide,

 $\begin{array}{l} N-\left[4-\left[(2-\text{ethyl-5},7-\text{dimethyl-3H-imida}zo\left[4,5-b\right]pyridin-3-yl)\,\text{methyl}\right]phenyl\right]-\left(CA\ \text{INDEX}\ NAME) \end{array}$

864171-18-6 CAPLUS

CN Benzamide, 3-cyano-N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

864171-19-7 CAPLUS
Urea, N-(2-chlorophenyl)-N'-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864171-24-4 CAPLUS Usea, N-(3-cyanophenyl)-N'-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

RN

864171-25-5 CAPLUS
Urea, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N'-1-naphthalenyl- (CA INDEX NAME)

864171-26-6 CAPLUS Urea, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N'-(3-nitrophenyl)- (CA INDEX NAME)

864171-27-7 CAPLUS Urea, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N'-(phenylmethyl)- (CA INDEX NAME)

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN

864171-20-0 CAPLUS Urea, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N'-phenyl- (CA INDEX NAME)

(Continued)

864171-21-1 CAPLUS
Urea, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N'-(4-methoxyphenyl)- (CA INDEX NAME)

864171-22-2 CAPLUS Urea, N-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N'-(4-methylphenyl)- (CA INDEX NAME) RN CN

864171-23-3 CAPLUS Uzea, N-[4-[(2-ethy1-5,7-dimethy1-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N'-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864171-28-8 CAPLUS Urea, N-ethyl-N"-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

RN 864171-29-9 CAPLUS
CN Urea, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N'-[(1R,2S)-2-phenylcyclopropyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

864171-30-2 CAPLUS

NO UPEA, NO

864171-31-3 CAPLUS
Urea, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N'-(1-methylethyl)- (CA INDEX NAME)

864171-32-4 CAPLUS Urea, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N'-hexyl- (CA INDEX NAME)

864171-33-5 CAPLUS Urea, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N'-(4-fluorophenyl)- (CA INDEX NAME)

864171-34-6 CAPLUS Carbamic acid, [4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

864171-35-7 CAPLUS Carbanic acid, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, ethyl ester (9C1) (CA INDEX NAME)

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 864171-40-4 CAPLUS
CN 2-Propanesulfonamide,
N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

RN 864171-41-5 CAPLUS
CN Benzenesulfonamide,
N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

RN 864171-42-6 CAPLUS

No. 171-4-6 CAPEDS CN Benzenesulfonamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-4-methoxy- (CA INDEX NAME)

RN 864171-43-7 CAPLUS
CN Benzenesulfonamide,
N-[4-[(2-ethy)-5,7-dimethy]-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-4-fluoro- (CA INDEX NAME)

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864171-36-8 CAPLUS
Carbamic acid, [4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

864171-37-9 CAPLUS
Carbamic acid, [4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, hexyl ester (9CI) (CA INDEX NAME)

864171-38-0 CAPLUS Carbamic acid, (4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 4-methylphenyl ester (9CI) (CA INDEX NAME)

RN 864171-39-1 CAPLUS
CN 1-Butanesulfonamide,
N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 864171-44-8 CAPLUS
CN Benzenesulfonamide,
N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

864171-45-9 CAPLUS
1-Naphthalenesulfonamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyxidin-3-yl)methyl]phenyl]- (CA INDEX NAME)

RN 864171-46-0 CAPLUS

CN Benzenesulfonamide,
N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-3-nitro- (CA INDEX NAME)

864171-47-1 CAPLUS
Benzenesulfonamide, 2,5-dichloro-N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

RN 864171-48-2 CAPLUS

NN 8041/1-48-2 CAPLOS
CN Benzenesulfonamide,
N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3yl)methyl]phenyl]-4-(trifluoromethoxy)- (CA INDEX NAME)

RN 864171-49-3 CAPLUS
CN 1-Naphthalenesulfonamide,
5-(dimethylamino)-N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

864171-50-6 CAPLUS 2-Naphthalenesulfonamide, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

RN 864171-51-7 CAPLUS
CN Benzenesulfonamide,
N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864171-58-4 CAPLUS
Benzenenthanol, 4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]- (CA INDEX NAME)

864171-60-8 CAPLUS

864171-60-8 CAPLUS
Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-,
(2E)-2-butenedioate (1:2) (CA INDEX NAME)

CM 1

CRN 864171-59-5 CMF C29 H36 N6

CM 2

Double bond geometry as shown.

864171-61-9 CAPLUS
4-Piperidinamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-1-methyl- (CA INDEX NAME)

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN yl)methyl]phenyl]-2,4,6-trimethyl- (CA INDEX NAME)

(Continued)

RN 864171-52-8 CAPLUS
CN Ethanesulfonamide,
N-[4-[(2-ethy]-5,7-dimethy]-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

RN 864171-53-9 CAPLUS
CN Benzenesulfonamide,
N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-4-methyl- (CA INDEX NAME)

864171-54-0 CAPLUS
Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-phenyl- (CA INDEX NAME)

864171-55-1 CAPLUS Benzenamine, 3-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-W-phenyl- (CA INDEX NAME)

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864171-62-0 CAPLUS Benzenamine, N-cyclohexyl-4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

864171-66-4 CAPLUS

Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-M-[trans-4-[(4-methyl-1-piperazinyl)methyl]cyclohexyl]- (CA INDEX NAME) CN

Relative stereochemistry.

864171-69-7 CAPLUS

Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-[trans-4-(4-morpholinylmethyl)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.

864171-70-0 CAPLUS
Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-[trans-4-(1-pyrrolidinylmethyl)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.

864171-73-3 CAPLUS
Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-[trans-4-(1-piperazinylmethyl)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.

864171-75-5 CAPLUS
4-Piperidinemethanol, 1-[[trans-4-[[4-[(2-ethyl-5,7-dimethyl-3H-inidazo[4,5-b]]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl]methyl]- (CA INDEX NAME)

864171-78-8 CAPLUS
1-Pyrrolidineethanamine, N-[[trans-4-[[4-[(2-ethyl-5,7-dimethyl-3B-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl]methyl]-, ethanedioate (1:?) (CA INDEX NAME)

CM 1

CRN 864171-77-7 CMF C30 H44 N6

Relative stereochemistry.

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864171-84-6 CAPLUS
Benzenamine, N-[trans-4-[(4-methyl-1-piperazinyl)methyl]cyclohexyl]-4[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

Relative stereochemistry.

OWITIOS-CHINDS (C) Cyclohexanecarboxamide, N-[2-(4-morpholiny])ethyl]-4-[[4-[(2,5,7-trimethyl-3H-inidazo[4,5-b]pyridin-3-yl]methyl]phenyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.

 $864171-86-8 \quad CAPLUS \\ Cyclohexanecarboxamide, \quad N-[2-(1-pyrrolidiny1)ethy1]-4-[[4-[(2,5,7-trimethy1-3H-imidazo[4,5-b]pyridin-3-y1)methy1]pheny1]amino]-, \quad trans-trimethy1-3H-imidazo[4,5-b]pyridin-3-y1)methy1]pheny1]amino]-, \quad trans-trimethy1-3H-imidazo[4,5-b]pyridin-3-y1)methy1]amino]-, \quad trans-trimethy1-3H-imidazo[4,5-b]pyridin-3-y1)methy1]amino]-, \quad trans-trimethy1-3H-imidazo[4,5-b]pyridin-3-y1)methy1]amino]-, \quad trans-trimethy1-3H-imidazo[4,5-b]pyridin-3-y1)methy1]amino]-, \quad trans-trimethy1-3H-imidazo[4,5-b]pyridin-3-y1)methy1]amino[4,5-b]pyridin-3-y1]amino[4$

INDEX NAME)

Relative stereochemistry.

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

CM 2

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

864171-80-2 CAPLUS
4-Morpholineethanamine, N-[[trans-4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl]methyl]- (CA INDEX NAME)

864171-82-4 CAPLUS

Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-

yl)methyl]-N-[trans-4-[[4-(4-morpholinyl)-1-piperidinyl]methyl]cyclohexyl]-(CA INDEX NAME)

Relative stereochemistry.

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864171-87-9 CAPLUS

Odd1/1-0/-9 CAPLUS APLUS APLUS APLUS (4,5-b)pyridin-3-y1)methy1]pheny1]pheny1]amino]cyclohexy1]methy1-3H-imidazo[4,5-b)pyridin-3-y1)methy1]pheny1]amino]cyclohexy1]methy1]- (CA INDEX NAME)

Relative stereochemistry.

864171-88-0 CAPLUS

RN 8041/1-00-0 CALLET STATES OF THE STATES O

Relative stereochemistry.

864171-89-1 CAPLUS
Benzenamine, N=[trans-4-[[4-(4-morpholiny1)-1-piperidiny1]methy1]cyclohexy1]-4-[(2,5,7-trimethy1-3H-imidazo[4,5-b]pyridin-3-y1)methy1]- (CA INDEX NAME)

864171-91-5 CAPLUS Methanone, [trans-4-[[4-[(2-ethyl-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 864171-92-6 CAPLUS
CN Cyclohexanecarboxamide,
4-[(4-((2-ethyl-7-methyl-3H-imidazo[4,5-b]pyridin3-yl)methyl]phenyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]-, trans- (CA INDEX NAME)

Relative stereochemistry.

RN 864171-93-7 CAPLUS
CN 4-Morpholineethanamine,
N-[[trans-4-[[4-[(2-cthyl-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]]phenyl]amino]cyclohexyl]methyl]- (CA INDEX NAME)

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

Relative stereochemistry.

864171-99-3 CAPLUS
[1,4'-Bipiperidin]-4-amine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-1'-methyl- (CA INDEX NAME)

RN

864172-03-2 CAPLUS
Ethanone, 2-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-1-phenyl- (CA INDEX NAME) CN

864172-04-3 CAPLUS [1,4'-Bipiperidine]-4-methanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-1'-methyl- (CA INDEX NAME)

L6 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

Relative stereochemistry.

864171-95-9 CAPLUS Methanone, [trans-4-[[4-[(2,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

Relative stereochemistry.

864171-96-0 CAPLUS Cyclohexanecarboxamide, 4-[[4-[(2,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]-, trans- (CA INDEX NAME)

Relative stereochemistry.

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) 864172-05-4 CAPLUS Methanone, [4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-1-piperidinyl]-4-piperidinyl- (CA INDEX NAME)

864172-09-8 CAPLUS Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-[[4-(4-methyl-1-piperazinyl)cyclohexyl]methyl]-, (2E)-2-butenedioate (1:2) (CA INDEX NAME)

CM 1

CRN 864172-08-7 CMF C29 H42 N6

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

864172-10-1 CAPLUS Cyclohexanone, 4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]- (CA INDEX NAME)

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN L6 (Continued)

864172-11-2 CAPLUS Cyclohexanol, 4-[[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]methyl]-, trans- (CA INDEX NAME)

Relative stereochemistry.

864172-12-3 CAPLUS 2H-Pyran-4-methanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]tetrahydro- (CA INDEX NAME)

864172-13-4 CAPLUS
4-Piperidinemethanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-1-(tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME) CN

864172-14-5 CAPLUS 2H-Fyran-4-amine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]tetrahydro- (CA INDEX NAME)

RN 864172-15-6 CAPLUS

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 864172-21-4 CAPLUS
CN Cyclohexanecarboxamide,
4-[[4-[[2-(2-furanyl)-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-y-l]methyl]phenyl]amino]-N-[2-(4-morpholinyl)ethyl]-, trans-(CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

864172-22-5 CAPLUS Methanone, [trans-4-[[4-[[2-(2-furanyl)-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]amino]cyclohexyl](4-methyl-1-piperazinyl)-(CA INDEX NAME)

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) 4-Piperidinemethanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-inidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-1-(1-methylethyl)- (CA INDEX NAME)

864172-17-8 CAPLUS

004172-17-0 CREDG 4-Piperidinemethanamine, 1-(tetrahydro-2H-pyran-4-y1)-N-[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]phenyl]- (CA INDEX NAME)

864172-18-9 CAPLUS
4-Piperidinemethanamine, 1-methyl-N-[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

864172-19-0 CAPLUS [1,4'-Bipiperidine]-4-methanamine, 1'-methyl-N-[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

864172-20-3 CAPLUS

4-Piperidinemethanamine, 1-(1-methylethyl)-N-[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

L6 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

Relative stereochemistry.

864172-25-8 CAPLUS [1,4'-Bipiperidine]-4-methanamine, N-[4-[(2-ethyl-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-1'-methyl- (CA INDEX NAME)

RN 864172-32-7 CAPLUS
CN Methanone,
[trans-4-[(4-[(5-chloro-2,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

Relative stereochemistry.

864172-33-8 CAPLUS Cyclohexanecarboxamide, 4-[[4-[(5-chloro-2,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-N-[2-(4-morpholinyl)ethyl]-, trans-(CA INDEX NAME)

Relative stereochemistry.

Cyclohexanecarboxamide, 4-[[4-[(5-chloro-2,7-dimethyl-3H-imidazo[4,5-b)]pyridin-3-yl)methyl]phenyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]-, trans-(CA INDEX NAME)

Relative stereochemistry.

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN CRN 144-62-7 CMF C2 H2 O4 (Continued)

864172-43-0 CAPLUS Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-[cis-4-(1-pyrrolidinylmethyl)cyclohexyl]- (CA INDEX NAME)

864172-45-2 CAPLUS
Benzenamine, N-[cis-4-[(4-methyl-1-piperazinyl)methyl]gyclohexyl]-4[(2,5,7-timethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 864172-46-3 CAPLUS
CN Cyclohexanecarboxamide,
N[2-(4-morpholinyl)|ethyl]-4-[[4-[(2,5,7-trimethyl3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, cis- (CA INDEX

Relative stereochemistry.

L6 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864172-36-1 CAPLUS Cyclohexanecarboxylic acid, 4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, ethyl ester, cis- (CA INDEX NAME)

Relative stereochemistry.

864172-38-3 CAPLUS Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl) methyl]-N-[cis-4-[(4-methyl-1-piperazinyl)methyl]cyclohexyl]- (CA INDEX NAME)

864172-42-9 CAPLUS
Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-[cis-4-(4-morpholinylmethyl)cyclohexyl]-, ethanedioate

(2:1) (CA INDEX NAME)

CM 1

CM 2

CRN 864172-41-8 CMF C28 H39 N5 O

Relative stereochemistry.

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 864172-48-5 CAPLUS
CN 4-Morpholineethanamine, N-[[cis-4-[[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl]methyl]-, ethanedioate (1:2)

(CA INDEX NAME)

CM 1

CRN 864172-47-4 CMF C29 H42 N6 O

Relative stereochemistry.

CM 2

CRN 144-62-7 CMF C2 H2 O4

864172-49-6 CAPLUS
Methanone, [4-(4-morpholiny1)-1-piperidiny1][cis-4-[[4-[(2,5,7-trimethy1-3H-imidazo[4,5-b]pyridin-3-y1)methy1]pheny1]amino]cyclohexy1]- (CA INDEX

RN 864172-50-9 CAPLUS
CN Benzenamine,
[-[cis.4-[-[4-(4-morpholinyl)-1-piperidinyl]methyl]cyclohexyl]4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

Relative stereochemistry.

864172-52-1 CAPLUS

CN Benzenamine,
N-[cis-4-(4-morpholinylmethyl)cyclohexyl]-4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

Relative stereochemistry.

864172-54-3 CAPLUS

d-Piperidinemethanol, 1-[[cis-4-[(4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]cyclohexyl]methyl] (CA INDEX NAME)

Relative stereochemistry.

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864172-62-3 CAPLUS Benzoic acid, 4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]- (CA INDEX NAME)

864172-69-0 CAPLUS

Yo411/2-69-0 CAPLUS
Cyclohexanecarboxylic acid, 4-[[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, cis- (CA INDEX NAME) CN

Relative stereochemistry.

864172-71-4 CAPLUS

Cyclohexanecarboxylic acid, 4-[[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.

864172-73-6 CAPLUS Cyclohexanecarboxylic acid, 4-[[4-[(2-ethyl-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.

L6 ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864172-61-2P 864172-71-4P 864172-75-8P 864172-78-1P 864172-81-6P IT 137421-60-4P 137421-61-5P 864172-61-2P 864172-71-4P 864172-73-6P 864172-73-1P 864172-73-1P 864172-80-5P 864172-81-6P 864172-82-7P 864172-80-5P 864172-91-6P 864172-92-P 864172-91-6P 864172-91-6P 864172-92-P RL: RCT (Reactant or reagent); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Intermediate; preparation of imidazo[4,5-b]pyridine derive, as GPR4 receptor antagonists for treatment of neutrophilic inflammation)
RN 137421-60-4 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 2-ethyl-5,7-dimethyl-3-[(4-nitrophenyl)methyl](CA INDEX NAME) IT 137421-60-4P 137421-61-5P

137421-61-5 CAPLUS Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

864172-61-2 CAPLUS Benzenamine, 3-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl] (CA INDEX NAME)

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 864172-74-7 CAPLUS
CN Cyclohexanecarboxylic acid,
4-[[4-[(2,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.

864172-75-8 CAPLUS

OGG172-73-0 CAPLUS (CAPLUS (CYClohexanecarboxylic acid, 4-[[4-[[2-(2-furanyl)-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.

864172-76-9 CAPLUS Cyclohexanecarboxylic acid, 4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, cis- (CA INDEX NAME)

Relative stereochemistry.

864172-77-0 CAPLUS

Cyclohexanecarboxylic acid, 4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.

864172-78-1 CAPLUS 3H-Imidazo[4,5-b]pyridine, 2-ethyl-7-methyl-3-[(4-nitrophenyl)methyl]-(CA INDEX NAME)

864172-79-2 CAPLUS

4-[(2-ethyl-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-Benzenamine, 4-(CA INDEX NAME)

RN

864172-80-5 CAPLUS
3H-Imidazo[4,5-b]pyridine, 2,5,7-trimethyl-3-[(4-nitrophenyl)methyl]-

INDEX NAME)

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864172-92-9 CAPLUS
4-Piperidinecarboxamide, 1-methyl-N-[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]- (CA INDEX NAME)

864172-41-8P IT

RI: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of imidazo[4,5-b]pyridine derivs. as GPR4 receptor antagonists

for treatment of neutrophilic inflammation)

Relative stereochemistry.

OS.CITING REF COUNT:

THERE ARE 2 CAPLUS RECORDS THAT CITE THIS

(2 CITINGS)
THERE ARE 17 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 8 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

864172-81-6 CAPLUS Benzenamine, 4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-(CA INDEX NAME)

864172-82-7 CAPLUS Cyclohexanecarboxylic acid, 4-[[4-[(5-chloro-2,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, trans- (CA INDEX NAME)

864172-90-7 CAPLUS
1-Piperidinecarboxylic acid, 4-[[4-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]phenyl]amino]-1-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

864172-91-8 CAPLUS

SOURT 2-91-0 AFROS 1-Piperidinecarboxylic acid, 4-[[[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

L6 ANSWER 9 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
142:280210
Preparation of 2-aminobenzimidazoles as TIE-2 and Raf
Kinase inhibitors for the treatment of tumors
HOUSE Hoolzemann, Guenter; Crassier, Helene; Ackermann,
Karl-August; Staehle, Wolfgang; Jonczyk, Alfred;
Rautenberg, Wilfried, Mitjans, Francesco;
Rosell-Vives, Elisabet; Adan, Jaume; Soler, Marta
Merck Patent GmbH, Germany
SOURCE:
PATENT INFORMATION:
FAMILY ACC. NUM. COUNT:
1
PATENT INFORMATION:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

						KIND DATE			APPLICATION NO.								
					A1 20050303				WO 2004-EP8042								
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,
			TD,	TG													
DE	1033	7942			A1		2005	0317		DE 2	003-	1033	7942		2	0030	818
	2004		97				2005	0303			004-					0040	719
CA	2536	095			A1		2005	0303		CA 2	004-	25361	095		2	0040	719
EP	1656	377			A1		2006	0517		EP 2	004-	7411:	35		2	0040	719
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
				FI,				BG,	CZ,	EE,	HU,	PL,	SK				
JP	2007	5027	B 6		T		2007	0215		JP 2	006-	5235	46		2	0040	719
US	2007	0021	456		A1		2007	0125		US 2	006-	5686:	26		2	0060	216
PRIORIT	Y APP	LN.	INFO	. :						DE 2	003-	1033	7942		A 2	0030	818
										WO 2	004-	EP80	42		W 2	0040	719

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 142:280210

Title compds. I [R1 = (R4)m; R2 = (R4')p; R3 = L-Y; R4, R4' = halo, OH, CN, etc.; L = CH2, CH2CH2, O, etc.; Y = heterocycle; m, p = 0-4] and

pharmaceutically acceptable salts were prepared For example,

pharmaceutically acceptable salts were prepared For example, condensation
of 4-fluoronitrobenzene and isothiocyanate II, e.g., prepared from 5-hydroxy-2,1,3-benzothiadiazole in 3-steps, afforded aminobenzimidazole III. In TIB-2 tyrosine kinase receptor inhibition assays, 4-examples of compds. I exhibited IC50 values ranging from 0.22-0.39 µM, e.g., the IC50 value of aminobenzimidazole III was 0.22 µM. Compds. I are claimed to be useful for the treatment of tumors via the inhibition of TIE-2 and Raf kinases.

IT 847234-90-6P 847234-91-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)

(preparation of aminobenzimidazoles as TIE-2 and Raf kinase inhibitors for

oitors for treatment of tumors) 847234-90-6 CAPLUS 1H-Benzimidazol-2-amine, 7-bromo-N-[4-(3H-imidazo[4,5-b]pyridin-3-ylmethyl)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

847234-91-7 CAPLUS

UH-Benzimidazol-2-amine, 7-chloro-N-[4-(3H-imidazo[4,5-b]pyridin-3-ylmethyl)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE DATE A1 WO 2000034277 20000615 WO 1999-JP6748 19991201 BR 9916919 HU 2001004549 HU 2001004549 AU 769890 20020115 20020429 BR 1999-16919 HU 2001-4549 19991201 19991201 20021228 AU 2000-14141 19991201 20040205 TW 577889 MX 2001005374 ZA 2001005493 US 6573274 TW 1999-121089 MX 2001-5374 ZA 2001-5493 US 2001-856172 US 2003-360806 20040301 19991202 20010529 20011203 20021003 20010703 20030603 20010912 US 20030171396 US 6890934 PRIORITY APPLN. INFO.: 20030911 20030210 JP 1998-346175 A 19981204 JP 1998-367540 A 19981224 JP 1999-259283 A 19990809 WO 1999-JP6748 W 19991201 US 2001-856172 A3 20010912

ANSWER 9 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

OS.CITING REF COUNT: THERE ARE 2 CAPLUS RECORDS THAT CITE THIS

(2 CITINGS)
THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

FORMAT

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$_{\mathrm{H_3C}}$$
 $_{\mathrm{CH_2}}$ $_{\mathrm{CH_2}}$ $_{\mathrm{OPr}}$

AB Title sulfonamides [I; X = Cl, ; R2 = CH3; R3 = 7-CH3, H; R4 = 4-CH3C6H4, (E)-2(4-pyridyl)ethylene, (CH2)4CCCCH3, 4-CH3CH2CCCCGH4, 4-HCCCGGH4, (CH2)4CH3, (CH2)3CH3, (CH2)2CH3, NH(CH2)4CH3; R5 = CCH(CH3)2, CGH5, CCH3, CCH2CH3, CCH2CH3, CC(CH3)3, etc], or salts thereof; and drug compns. containing the same (wherein each symbol is as defined in the description) are prepared as preventive or therapeutic agents efficacious against diseases which can be cured through inhibition of GMM-PDE, relaxation of smooth muscle, bronchodilation, vasodilation, inhibition of smooth muscle fiber, or inhibition of allergy. The title compound II was prepared and tested.

IT 275384-59-3 275384-60-6
R1: RCT (Reactant); RRCT (Reactant or reagent) (preparation of sulfonamides as medicines)
RN 275384-59-3 CAPLUS
RN 318-Imidazo(4,5-b)pyridine-5-carboxamide.

upreparation of sulfonamides as medicines)
275384-59-3 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[[2-chloro-4-(methylamino)phenyl]methyl]-2-methyl-N-(pentylsulfonyl)(CA INDEX NAME)

RN 275384-60-6 CAPLUS
CN Carbamic acid,
[3-chloro-4-[{2-methyl-5-[[(pentylsulfonyl)amino]carbonyl]3H-inidazo(4,5-b]pyridin-3-yl]methyl]phenyl]methyl-, 1,1-dimethylethyl
ester (9CI) (CA INDEX NAME)

IT	219765-00-1P	219765-01-2P	219767-92-7P
	219767-93-8P	275382-65-5P	275382-66-6P
	275383-09-0P	275383-11-4P	275383-12-5P
	275383-13-6P	275383-14-7P	275383-15-8P
	275383-24-9P	275383-25-OP	275383-26-1P
	275383-27-2P	275383-28-3P	275383-29-4P
	275383-30-7P	275383-31-8P	275383-32-9P
	275383-33-0P	275383-34-1P	275383-35-2P
	275383-36-3P	275383-37-4P	275383-38-5P
	275383-40-9P	275383-41-0P	275383-42-1P
	275383-43-2P	275383-44-3P	275383-46-5P
	275383-48-7P	275383-50-1P	275383-52-3P
	275383-54-5P	275383-56-7P	275383-62-5P
	275383-66-9P	275384-35-5P	275384-36-6P
	275384-37-7P	275384-41-3P	275384-42-4P
	275384-56-0P	275384-57-1P	275384-58-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

RE: RCT (Reactant); SPN (Synthetic preparation); PREF (Preparation); I (Reactant or reagent) (preparation of sulfonamides as medicines) 219765-00-1 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxylic acid, 3-[(2-chloro-4-nitrophenyl)methyl]-2-methyl-, methyl ester (CA INDEX NAME)

219765-01-2 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[(4-amino-2-chlorophenyl)methyl]-2-methyl-, methyl ester (CA INDEX NAME)

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) 3-[[2-chloro-4-[(cyclohexylmethyl)methylamino]phenyl]methyl]-2-methyl-(CA INDEX NAME)

275383-09-0 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,

3-[[2-chloro-4-[[(1,1-dimethylethoxy)carbonyl]ethylamino]phenyl]methyl]-2-methyl-, methyl ester (CA INDEX NAME)

275383-11-4 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,

3-[[2-chloro-4-[[(1,1-dimethylethoxy)carbonyl]ethylamino]phenyl]methyl]-2-methyl- (CA INDEX NAME)

275383-12-5 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]methyl2,7-dimethyl-, methyl ester (CA INDEX NAME)

$$\underset{\text{MeO-Cl}}{\text{MeO-Ch}} \underset{\text{N}}{\overset{\text{Me}}{\longrightarrow}} \underset{\text{N-Ch}}{\overset{\text{Me}}{\longrightarrow}} \underset{\text{Cl}}{\overset{\text{NH}_2}{\longrightarrow}}$$

L6 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN

219767-92-7 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-(methylpentylamino)phenyl]methyl]-2-methyl-, methyl ester
(CA INDEX NAME)

219767-93-8 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-(methylpentylamino)phenyl]methyl]-2-methyl- (CA INDEX NAME)

RN CN

275382-65-5 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[(cyclohexylmethyl)methylamino]phenyl]methyl]-2-methyl-,
methyl ester (CA INDEX NAME)

275382-66-6 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{N} \\ \text{N} \\ \text{CH}_2 \\ \text{C1} \end{array}$$

275383-13-6 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3=[[2-chloro-4-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]methyl]2,7-dimethyl- (CA INDEX NAME)

275383-14-7 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[[(1,1-dimethylethoxy)carbonyl]ethylamino]phenyl]methyl]2,7-dimethyl-, methyl ester (CA INDEX NAME)

275383-15-8 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[[1,1-dimethylethoxy)carbonyl]ethylamino]phenyl]methyl]2,7-dimethyl- (CA INDEX NAME)

275383-24-9 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[(2,4-dichloro-5-nitrophenyl)methyl]-2-methyl-, methyl ester (CA INDEX NAME)

275383-25-0 CAPLUS
3H-Imidazo(4,5-b]pyridine-5-carboxylic acid,
3-[(2,4-dichloro-5-nitrophenyl)methyl]-2-methyl- (CA INDEX NAME)

275383-26-1 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[(5-amino-2,4-dichlorophenyl)methyl]-2-methyl-, methyl ester (CA INDEX

$$\begin{array}{c|c} & \text{Me} & \text{NH}_2 \\ & \text{NH}_2 & \text{NH}_2 \\ & \text{C1} & \text{C1} \end{array}$$

275383-27-2 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2,4-dichloro-5-(dimethylamino)phenyl]methyl]-2-methyl-, methyl ester

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

275383-31-8 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[(ethoxycarbonyl)amino]phenyl]methyl]-2-methyl-, methyl ester (CA INDEX NAME)

275383-32-9 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[(ethoxycarbonyl)amino]phenyl]methyl]-2-methyl- (CA INDEX NAME)

RN CN

275383-33-0 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[(1-oxopentyl)amino]phenyl]methyl]-2-methyl-, methyl

(CA INDEX NAME)

275383-34-1 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[(1-oxopentyl)amino]phenyl]methyl]-2-methyl- (CA INDEX NAME)

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (CA INDEX NAME) (Continued)

275383-28-3 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[2,4-dichloro-5-(dimethylamino)phenyl]methyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \\ & \text{N} & \text{CH}_2 \\ & \text{C1} & \text{C1} \end{array}$$

275383-29-4 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[4-[bis[(1,1-dimethylethoxy)carbonyl]amino]-2-chlorophenyl]methyl]-2-methyl-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN CN

275383-30-7 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]methyl]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ \text{HO}_2\text{C} & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

L6 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$\underset{HO_2C}{\text{N}} \underset{N}{\overset{\text{Me}}{\longrightarrow}} \underset{CH_2}{\overset{\text{N}}{\longrightarrow}} \underset{C1}{\overset{\text{N}}{\longrightarrow}} \underset{N}{\overset{\text{N}}{\longrightarrow}} \underset{N}{\overset{N}{\longrightarrow}} \underset{N}{\overset{N}$$

275383-35-2 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[4-[(butylsulfonyl)amino]-2-chlorophenyl]methyl]-2-methyl-, methyl
ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

275383-36-3 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[4-[[butylsulfonyl]amino]-2-chlorophenyl]methyl]-2-methyl- (CA INDEX NAME) CN

$$\underset{\text{HO}_2\text{C}}{\text{N}} \underset{\text{N}}{\overset{\text{Ne}}{\longrightarrow}} \underset{\text{C1}}{\overset{\text{Ne}}{\longrightarrow}} \underset{\text{C1}}{\overset{\text{NH}-\text{S}-\text{Bu-n}}{\longrightarrow}} \underset{\text{C1}}{\overset{\text{Ne}}{\longrightarrow}} \underset{\text{Ne}}{\overset{\text{Ne}}{\longrightarrow}} \underset{\text{C1}}{\overset{\text{Ne}}{\longrightarrow}} \underset{\text{Ne}}{\overset{\text{Ne}}{\longrightarrow}} \underset{\text{Ne}}{\overset{\text{Ne}}{\longrightarrow}} \underset{\text{Ne}}{\overset{\text{Ne}}{\longrightarrow}} \underset{\text{Ne}}{\overset{\text{Ne}}{\longrightarrow}} \underset{\text{Ne}}{\overset{\text{Ne}}{\longrightarrow}} \underset{\text{Ne}}{\overset{\text{Ne}}{\overset{\text{Ne}}}} \underset{\text{Ne}}{\overset{\text{Ne}}{\longrightarrow}} \underset{\text{Ne}}{\overset{\text{Ne}}} \underset{\text{Ne}}} \underset{\text{Ne}}{\overset{\text{Ne}}} \underset{\text{Ne}}} \underset{\text{Ne}}{\overset{\text{Ne}}} \underset{\text{Ne}}{\overset{\text{Ne}}} \underset{$$

275383-37-4 CAPLUS
3H-Inidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[(3,3-dimethyl-1-oxobutyl)amino]phenyl]methyl]-2-methyl-,
methyl ester (CA INDEX NAME)

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) 275383-38-5 CAPLUS 3H-Midzo(4,5-b)pyridine-5-carboxylic acid, 3-[[2-chloro-4-[(3,3-dimethyl-1-oxobutyl)amino]phenyl]methyl]-2-methyl-(CA INDEX NAME)

275383-40-9 CAPLUS Imidodicarbonic acid, 2-[4-[(5-bromo-2-methyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-3-chlorophenyl]-, 1,3-bis(1,1-dimethylethyl) ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

275383-41-0 CAPLUS

CN Carbamic acid, [4-[(5-bromo-2-methyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-3-chlorophenyl]-, l,1-dimethylethyl ester (9CI) (CA INDEX NAME)

275383-42-1 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]methyl]-2-methyl-, methyl ester (CA INDEX NAME)

(Continued) ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN

275383-48-7 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxylic acid, 3-[2-chloro-4-[(ethoxycarbonyl)methylamino]phenyl]methyl]-2-methyl, methyl ester (CA INDEX NAME)

275383-50-1 CAPLUS
3H-Tmidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[(ethoxycarbonyl)methylamino]phenyl]methyl]-2-methyl- (CA INDEX NAME)

275383-52-3 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[[[propylamino)carbonyl]amino]phenyl]methyl]-2-methylmethyl ester (CA INDEX NAME)

L6 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

275383-43-2 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[(4-amino-2-chlorophenyl)methyl]-2-methyl-, methyl ester, hydrochloride
(1:2) (CA INDEX NAME)

$$\begin{array}{c|c} & N & Me \\ \hline & N & N - CH_2 \\ \hline & C1 \end{array}$$

● 2 HCl

275383-44-3 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[[(1-methylethoxy)carbonyl]amino]phenyl]methyl]-2-methyl,
methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} N & Me \\ N & N - CH_2 \end{array}$$

275383-46-5 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[[(1-methylethoxy)carbonyl]amino]phenyl]methyl]-2-methyl(CA INDEX NAME)

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) 275383-54-5 CAPLUS SM-Imidazo[4,5-b]pyridine-5-carboxylic acid, 3-[[2-chloro-4-[[(propylamino)carbonyl]amino]phenyl]methyl]-2-methyl-

(CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ \text{HO}_2\text{C} & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN CN

275383-56-7 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[4-[(4-bromo-1-oxobutyl)amino]-2-chlorophenyl]methyl]-2-methyl-,

methyl

/I ester (CA INDEX NAME)

275383-62-5 CAPLUS
3H-Inidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[[(2-chloroethoxy)carbonyl]amino]phenyl]methyl]-2-methyl-,
methyl ester (CA INDEX NAME)

$$\underset{\mathsf{MeO-C}}{\overset{\circ}{\bigcap}} \underset{\mathsf{N}}{\overset{\mathsf{N}}{\bigcap}} \underset{\mathsf{CH}_2}{\overset{\circ}{\bigcap}} \underset{\mathsf{Cl}}{\overset{\circ}{\bigcap}} \underset{\mathsf{NH-C-O-CH}_2-\mathsf{CH}_2\mathsf{Cl}}{\overset{\circ}{\bigcap}}$$

275383-66-9 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[(2-hydroxyethyl)amino]phenyl]methyl]-2-methyl-, methyl
ester (CA INDEX NAME)

275384-35-5 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,

3-[[2-chloro-4-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]methyl]-2methyl- (CA INDEX NAME)

275384-36-6 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,

3-[[2-chloro-4-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]methyl]-2-methyl-, methyl ester (CA INDEX NAME)

$$\begin{tabular}{c|c} Me & O & Me & O \\ \hline Me & N-C-OBu-t \\ \hline O & C1 \\ \hline \end{tabular}$$

275384-37-7 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-(methylamino)phenyl]methyl]-2-methyl-, methyl ester,
hydrochloride (1:2) (CA INDEX NAME)

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

275384-57-1 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[methyl[(phenylmethoxy)carbonyl]amino]phenyl]methyl]-2-methyl-, methyl ester (CA INDEX NAME)

275384-58-2 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[methyl[(phenylmethoxy)carbonyl]amino]phenyl]methyl]-2-methyl- (CA INDEX NAME)

275380-81-9P 275380-89-7P 275381-23-2P 275381-24-3P 275381-331-2P 275381-331-2P 275381-331-2P 275381-331-4P 275381-39-0P 275381-997-0P RL; RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of sulfonamides as medicines) 275380-81-9 CAPLUS Carbanic acid, [4-[[5-[[(butylsulfonyl)amino]carbonyl]-2-methyl-3H-imidazo (4,5-b)pyridin-3-yl]methyl]-3-chlorophenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

●2 HC1

275384-41-3 CADLUS

2/5384-41-3 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[2-chloro-4-[(cyclohexylmethyl)[(1,1-dimethyl)ethoxy)carbonyl]amino]phenyl]methyl]-2-methyl-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

275384-42-4 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxylic acid, 3-[2-chloro-4-[(cyclohexylmethyl)amino]phenyl]methyl]-2-methyl-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 275384-56-0 CAPLUS CN Carbamic acid, [4-[[5-bromo-2-methyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]-3-chlorophenyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

275380-89-7 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxamide, 3-[[2-chloro-4-(methylamino)phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

275381-23-2 CAPLUS
Carbamic acid, [3-chloro-4-[[2-methyl-5-[[[(4-methyl)1sulfonyl]amino]carbonyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

275381-24-3 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxamide,

275381-31-2 CAPLUS Carbamic acid, [3-chloro-4-[[2,7-dimethyl-5-[[[4-methyl]sulfonyl]amino]carbonyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

275381-33-4 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[[2-chloro-4-(methylamino)phenyl]methyl]-2,7-dimethyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

IT	275380-83-1P	275380-84-2P	275380-86-4P
	275380-87-5P	275380-88-6P	275380-90-0P
	275380-91-1P	275380-92-2P	275380-93-3P
	275380-94-4P	275380-95-5P	275380-96-6P
	275380-97-7P	275381-10-7P	275381-12-9P
	275381-21-0P	275381-26-5P	275381-27-6P
	275381-29-8P	275381-35-6P	275381-37-8P
	275381-39-0P	275381-50-5P	275381-52-7P
	275381-54-9P	275381-56-1P	275381-58-3P
	275381-60-7P	275381-61-8P	275381-63-0P
	275381-65-2P	275381-67-4P	275381-69-6P
	275381-71-0P	275381-73-2P	275381-75-4P
	275381-77-6P	275381-79-8P	275381-81-2P
	275381-83-4P	275381-85-6P	275381-87-8P
	275381-91-4P	275381-93-6P	275381-99-2P
	275382-01-9P	275382-03-1P	275382-05-3P
	275382-09-7P	275382-62-2P	

2/5382-09-7P 275382-62-2P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USES) (preparation of sulfonamides as medicines) 275380-83-1 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxamide, N-(butylsulfonyl)-3-[[2-chloro-4-(methylamino)phenyl]methyl]-2-methyl-(CA INDEX NAME)

$$\bigcap_{n-Bu-S-NH-C}\bigcap_{N-N-CH_2}\bigcap_{N-CH_2}\bigcap_{N+N-CH_2}\bigcap_{N-N-CH_2}\bigcap_{$$

275380-84-2 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxamide,

N-(butylsulfonyl)-3-[[2-chloro-4-(ethylmethylamino)phenyl]methyl]-2-methyl-(CA INDEX NAME)

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

275381-89-0 CAPLUS Carbamic acid, [4-[[5-[[(butylsulfonyl)amino]carbonyl]-2-methyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]-3-chlorophenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\underset{n-Bu-S-NH-}{\overset{\circ}{\bigcap}}\underset{N}{\overset{\circ}{\bigcap}}\underset{N-C+OBu-t}{\overset{\circ}{\bigcap}}$$

275381-95-8 CAPLUS
Carbamic acid, [3-chloro-4-[[2-methyl-5-[[[(1E)-1-pentenylsulfonyl]amino]carbonyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

275381-97-0 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxamide,

3-[(4-amino-2-chlorophenyl)methyl]-2-methyl-N-[(1E)-1-penten-1-ylsulfonyl]-(CA INDEX NAME)

Double bond geometry as shown.

L6 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

275380-86-4 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
N-(butylsulfonyl)-3-[[2-chloro-4-(methylpropylamino)phenyl]methyl]-2-methyl- (CA INDEX NAME)

275380-87-5 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,

3-[[4-(butylmethylamino)-2-chlorophenyl]methyl]-N-(butylsulfonyl)-2-methyl-(CA INDEX NAME)

$$\bigcap_{n-Bu-S-NH-C} \bigcap_{N} \bigcap_{N-CH_2} \bigcap_{C1} \bigcap_{N-Bu-r} \bigcap_$$

275380-88-6 CAPLUS Carbamic acid, [3-chloro-4-[[2-methyl-5-[[[(4-methyl)sulfonyl]anino]carbonyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

(Continued)

275380-90-0 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3H-[2-chloro-4-(dimethylamino)phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

275380-91-1 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[[2-chloro-4-(ethylmethylamino)phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

275380-94-4 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[[2-chloro-4-(methylpentylamino)phenyl]methyl]-2-methyl-N-(pentylsulfonyl)- (CA INDEX NAME)

275380-95-5 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3[-[2-chloro-4-[(cyclohexylmethyl)methylamino]phenyl]methyl]-2-methyl-N-(pentylsulfonyl)- (CA INDEX NAME)

275380-96-6 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3H-[a-chloro-4-[(cyclohexylmethyl)amino]phenyl]methyl]-2-methyl-N-(pentylsulfonyl)- (CA INDEX NAME)

275380-92-2 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3s-[2-chloro-4-(methylpropylamino)phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

275380-93-3 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3H-[a-(but/lmethylamino)-2-chlorophenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

L6 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$\mathsf{Me} = (\mathsf{CH}_2) \overset{\bullet}{4} - \overset{\bullet}{\mathsf{S}} - \mathsf{NH} - \overset{\bullet}{\mathsf{CH}_2} - \overset{\bullet}{\mathsf{NH}} - \mathsf{CH}_2 - \overset{\bullet}{\mathsf{NH}} - \mathsf{CH}_2$$

275380-97-7 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[[2-chloro-4-(ethylmethylamino)phenyl]methyl]-2,7-dimethyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

275381-10-7 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3[-[2-chloro-4-[(2,2-dimethyl-1-oxopropyl)methylamino]phenyl]methyl]-2-methyl-N-(pentylsulfonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & Me & Me \\ \hline & N \\ Me & CH_2 \\ \hline & N \\ \hline & CH_2 \\ \hline \end{array}$$

RN 275381-12-9 CAPLUS
CN Carbamic acid,
[3-chloro-4-[(2-methyl-5-[[(pentylsulfonyl)amino]carbonyl]3H-inidazo[4,5-b]pyridin-3-yl]methyl]phenyl]methyl-, ethyl ester (9CI)
(CA INDEX NAME)

RN 275381-21-0 CAPLUS
CN Carbamic acid,
[3-chloro-4-[(2-methyl-5-[[(pentylsulfonyl)amino]carbonyl]3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]ethyl-, 1,1-dimethylethyl
ester (9CI) (CA INDEX NAME)

275381-26-5 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[[2-chloro-4-(diethylamino)phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

275381-27-6 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[[2-chloro-4-(ethylpentylamino)phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) 275381-37-8 CAPLUS Carbamic acid, [3-chloro-4-[[2,7-dimethyl-5-[[(pentylsulfonyl)amino|carbonyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

275381-39-0 CAPLUS Carbamic acid, [3-chloro-4-[[2,7-dimethyl-5-[[[(4-methyl)]sulfonyl]amino]carbonyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

275381-50-5 CAPLUS
3H-Tmidazo[4,5-b]pyridine-5-carboxamide,
3-[(2,4-dichloro-5-nitrophenyl)methyl]-2-methyl-N-(pentylsulfonyl)- (CA
INDEX NAME)

275381-29-8 CAPLUS
Carbamic acid, [3-chloro-4-[[2,7-dimethyl-5-[[(pentylquifonyl).amino]carbonyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

275381-35-6 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[[2-chloro-4-(methylpropylamino)phenyl]methyl]-2,7-dimethyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME) RN CN

$$\begin{array}{c} \text{Me} \\ \text{N-Pr-n} \\ \\ \text{Me} \\ \\ \text{N-Pr-n} \\ \\ \\ \text{N-Pr-n} \\ \\ \text$$

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

275381-52-7 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3=[(2,4-dichloro-5-nitrophenyl)methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

275381-54-9 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[2,4-dichloro-5-(dimethylamino)phenyl]methyl]-2-methyl-N-(pentylsulfonyl)- (CA INDEX NAME)

275381-56-1 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3[-[2,4-dichloro-5-cidimethylamino]phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

275381-58-3 CAPLUS

$$\begin{array}{c} \circ \\ = \\ S - Bu - n \\ \\ N - Me \\ \\ \\ O \end{array}$$

RN 275381-60-7 CAPLUS
CN Carbamic acid,
[3-chloro-4-[[2-methyl-5-[[(pentylsulfonyl)amino]carbonyl]3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]methyl-, 1,1-dimethylethyl
ester, sodium salt (9CI) (CA INDEX NAME)

RN 275381-61-8 CAPLUS
CN Carbamic acid,
[3-chloro-4-[(2-methyl-5-[[(pentylsulfonyl)amino]carbonyl]3H-imidazo(4,5-b)pyridin-3-yl]methyl]phenyl]methyl-, propyl ester (9CI)
(CA INDEX NAME)

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$\mathsf{Me} = (\mathsf{CH}_2) \, \mathsf{4} - \mathsf{S} - \mathsf{NH} - \mathsf{C} - \mathsf{OEt}$$

275381-69-6 CAPLUS
3H-Inidazo[4,5-b]pyridine-5-carboxamide,
3H-[-chloro-4-[(1-oxopentyl)amino]phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

275381-71-0 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[4-[(butylsulfonyl)amino]-2-chlorophenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

275381-73-2 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxamide,

L6 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 275381-63-0 CAPLUS
CN Carbamic acid,
[3-chloro-4-[(2-methyl-5-[[(pentylsulfonyl)amino]carbonyl]3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]methyl-, 1-methylethyl ester
(9CI) (CA INDEX NAME)

RN 275381-65-2 CAPLUS
CN Carbamic acid,
[3-chloro-4-[(2-methyl-5-[[(pentylsulfonyl)amino]carbonyl]3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, 1,1-dimethylethyl ester
(9CI) (CA INDEX NAME)

RN 275381-67-4 CAPLUS
CN Carbamic acid,
[3-chloro-4-[(2-methyl-5-[[(pentylsulfonyl)amino]carbonyl]3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, ethyl ester (9CI) (CA
INDEX NAME)

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) 3-[[2-chloro-4-[(3,3-dimethyl-1-oxobutyl)amino]phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

275381-75-4 CAPLUS Carbamic acid, [3-chloro-4-[[2-methyl-5-[[[(1E)-1-pentenylsulfonyl]amino]carbonyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

275381-77-6 CAPLUS Carbamic acid, [4-[[5-[[(butylsulfonyl)amino]carbonyl]-2-methyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]-3-chlorophenyl]-, 1-methylethyl ester (9C1) (CA INDEX NAME)

275381-79-8 CAPLUS
Carbamic acid, [3-chloro-4-[[2-methyl-5-[[[(1E)-1-pentenylsulfonyl]maino]carbonyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

275381-81-2 CAPLUS Carbamic acid, [4-[[5-[[(butylsulfonyl)amino]carbonyl]-2-methyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]-3-chlorophenyl]methyl-, ethyl ester (9C1) (CA INDEX NAME)

275381-83-4 CAPLUS
3H-Inidazo[4,5-b]pyridine-5-carboxamide,
3H-(3-amino-2-chloropheny1)methy1]-2-methy1-N-(penty1sulfony1)- (CA INDEX NAME)

RN 275381-85-6 CAPLUS
CN Carbamic acid,
[3-chloro-4-[(2-methyl-5-[[(pentylsulfonyl)amino]carbonyl]3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, propyl ester (9CI) (CA
INDEX NAME)

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Cont. 275381-99-2 CAPLUS Carbanic acid, [3-chloro-4-[[2-methyl-5-[[[(1E)-1-pentenylsulfonyl]=mino]carbonyl]-3H-imidazo(4,5-b]pyridin-3-yl]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME) (Continued)

Double bond geometry as shown.

275382-01-9 CAPLUS Carbamic acid, [3-chloro-4-[[2-methyl-5-[[[(1E)-1-pentenylsulfonyl]amino]carbonyl]-3H-imidazo[4,5-b]pyridin-3-yl]methylphenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

275382-03-1 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxamide,

3-[[2-chloro-4-[[(propylamino)carbonyl]amino]phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

L6 ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 275381-87-8 CAPLUS
CN Carbamic acid,
[3-chloro-4-[(2-methyl-5-[[(pentylsulfonyl)amino]carbonyl]3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, 1-methylethyl ester (9CI)
(CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{NH-C-OPr-J} \\ \text{Me} \\ \text{C1} \end{array}$$

275381-91-4 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3H-[4-amino-2-chlorophenyl)methyl]-N-(butylsulfonyl)-2-methyl- (CA INDEX NAME)

$$\underset{n-Bu-S-NB-C}{\overset{\circ}{\underset{N}{|}}} \underset{0}{\overset{\circ}{\underset{N}{|}}} \underset{N}{\overset{N}{\underset{N-CH_2}{|}}} \underset{C1}{\overset{N}{\underset{N}{|}}}$$

275381-93-6 CAPLUS Carbamic acid, [4-[[5-[[(butylsulfonyl)amino]carbonyl]-2-methyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]-3-chlorophenyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

ANSWER 10 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN 275382-05-3 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxamide,

 $\begin{array}{lll} 3-\mbox{\tt [[2-chloro-4-[methy1[(propylamino)carbony1]amino]pheny1]methy1]-2-methy1-N-[(4-methylpheny1)sulfony1]-& (CA INDEX NAME) \end{array}$

$$\begin{array}{c|c} & \text{Me} & \text{O} \\ & \text{N} & \text{C} \\ & \text{N} & \text{C} \\ & \text{N} & \text{N} \\ & \text{N} & \text{C} \\ & \text{N} & \text{N} \\ & \text{N} & \text{Me} \\ & & \text{N} & \text{N} & \text{Me} \\ & & \text{N} & \text{N} & \text{Me} \\ & & \text{N} & \text{N} & \text{N} \\ & & & & \text{N} \\ & & & \text{N} & \text{N} \\ & & & & & \text{N} \\ & & & & & \text{N} \\ & & & & & & \text{N} \\ & & & & & & & \text{N} \\ & & & & & & & & \\ & & & & & & & & \\ & & & & & & & & \\ & & & & & & & & \\ & & & & & & & & \\ & & & & & & & & \\ & & & & & & & & \\ & & & & & & & & \\ & & & & & & & & \\ & & & & & & & & \\ & & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & &$$

275382-09-7 CAPLUS

Carbamic acid, [(4-methylphenyl)sulfonyl]-,

2-[[3-chloro-4-[[2-methyl-5-[[[(4-methylphenyl)sulfonyl]amino]carbonyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]amino]ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 275382-62-2 CAPLUS
CN Carbamic acid,
[3-chloro-4-[[2-methyl-5-[[(pentylsulfonyl)amino]carbonyl]3H-inidazo[4,5-b]pyridin-3-yl]methyl]phenyl]methyl-, phenylmethyl ester
(9CI) (CA INDEX NAME)

4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS OS.CITING REF COUNT: RECORD

(5 CITINGS)
THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

FORMAT

L6 ANSWER 11 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) benzimidazolyl, indolyl, 4,7-dihydrobenz-imidazolyl and 2,3-dihydrobenzoxazinyl; X represents alkylene, oxygen, oxygenated lower alkylene, etc.; and R2 represents optionally substituted biphenylyl, etc.] are prepd. These compds. are useful as hypoglycemics and have CGMP-PDE inhibitory, bronchodilating, vasodilating, smooth wascle cell inhibitory, and antiallergic effects, etc.

3-(2,4-binchlorobenzyl)-2-methyl-5-(1-pentanesulfonylcarbamoyl)benzo[b]furan at 10 mg/kg gave 71% decrease of blood sugar in mice.

IT 219759-70-3P 219759-71-4P 219759-72-5P 219759-73-6P 219759-73-P 219759-73-P 219759-73-P 219750-04-P 219760-04-P 219760-08-P 219760-08-P 219761-34-9P 219761-34-P 219761-34

(Biological

ogical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BTOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclic molety-containing sulfonamide compds. as

hypoglycemics)
219759-70-3 CAPUS
3H-Inidazo[4,5-b]pyridine-5-carboxamide,
3-[(2-chloro-4-[(phenylmethyl)amino]phenyl]methyl]-2-methyl-N(phenylsulfonyl)- (CA INDEX NAME)

NH-CHo-Ph

219759-71-4 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[4-(butylamino)-2-chlorophenyl]methyl]-2-methyl-N-(phenylsulfonyl)-(CA INDEX NAME)

219759-72-5 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,

3-[[2-chloro-4-(dimethylamino)phenyl]methyl]-2-methyl-N-(phenylsulfonyl)-(CA INDEX NAME)

ANSWER 11 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN SSION NUMBER: 1999:34900 CAPLUS MENT NUMBER: 130:125067

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

130:125067
Preparation of heterocyclic moiety-containing sulfonamide compounds as hypoglycemics
Kayakiri, Hiroshi; Abe, Yoshito; Hamashima, Hitoshi; Sawada, Hitoshi; Mizutani, Tsuyoshi; Yamasaki, Noritsugu; Cnomura, Osamu; Nishikawa, Masahiro; Hiramura, Takahiro; Oku, Teruo; Imoto, Takafumi Fujisawa Pharmaceutical Co., Ltd., Japan; et al. PCT Int. Appl., 472 pp.
CODEN: PIXXD2
Patent
Japanese INVENTOR(S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	CENT :																	
WO	9900	372			A1	l 19990107 WO 1998-JP2877												
	W:																CZ,	
																	KZ,	
																	PL,	
					SE,	SG,	SI,	SK,	SL,	To	Ι,	TM,	TR,	TT,	UA,	UG,	. US,	UΖ,
			YU,															
	RW:																	
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							NE,											
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	2000						2001											
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	2199																19980	
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US	9911 6348 2002 6911 2004	469			B2		2005	0628										
US	2004	0180	947		A1		2004	0916		US	20	04-	8119	89			20040	330
ORIT:	APP:	LN.	INFO	. :						JP	19	97-	2082	95		Α :	19970	627
										JP	19	98-	1147	18		A :	19980	424
										WO	19	98-	JP28	77		W	19980	624
										US	20	000-	4461	10		A3 :	20000	214
										US	20	02-	4709	3		A3 :	20020	117

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S):

AB The title compds. RISSONHOOAXK2 [RI represents alkyl, alkenyl, alkynyl, etc.; A represents an optionally substituted polyheterocyclic group except

ANSWER 11 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$\begin{array}{c} \text{NMe}_2 \\ \text{Ph-} \\ \text{S-NH-} \\ \text{O} \end{array}$$

219759-73-6 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3=[4-(acetylamino)-2-chlorophenyl]methyl]-2-methyl-N-(phenylsulfonyl)-(CA INDEX NAME)

219759-74-7 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[[2-chloro-4-[(methylsulfonyl)amino]phenyl]methyl]-2-methyl-N-(phenylsulfonyl)- (CA INDEX NAME)

$$\bigcap_{\mathrm{Ph-S-NH-C}} \bigcap_{\mathrm{N}} \bigcap_{\mathrm{N}-\mathrm{CH}_2} \bigcap_{\mathrm{C1}} \bigcap_{\mathrm{N}} \bigcap_{\mathrm{C1}} \bigcap_{\mathrm{N}-\mathrm{CH}_2} \bigcap_{\mathrm{C1}} \bigcap_{\mathrm{N}-\mathrm{C1}} \bigcap_{\mathrm{N}-\mathrm{$$

CN

219759-75-8 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[(2-chloro-4-nitrophenyl)methyl]-2-methyl-N-(phenylsulfonyl)- (CA TNDEX

NAME)

RN 219760-04-0 CAPLUS

ANSWER 11 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) 3H-Imidazo[4,5-b]pyridine-5-carboxamide, 3-[(2-chloro-4-nitrophenyl)methyl]-2,7-dimethyl-N-(phenylsulfonyl)- (CA INDEX NAME)

219760-06-2 CAPLUS
3H-Indidazo[4,5-b]pyridine-5-carboxamide,
3=[(2-chloro-4-nitropheny1)methy1]-2,7-dimethy1-N-[(4-methylpheny1)sulfony1]- (CA INDEX NAME)

219760-07-3 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3[-[2-chloro-4-nitrophenyl]methyl]-2,7-dimethyl-N-[[(1E)-2-phenylethenyl]sulfonyl]- (CA INDEX NAME)

Double bond geometry as shown.

219760-08-4 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[(2-chloro-4-nitrophenyl)methyl]-N-[[(1E)-2-(4-

ANSWER 11 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

219761-35-0 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3[[4-(benzoylamino)-2-chlorophenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

219761-36-1 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3H-[4-(benzoylmethylamino)-2-chlorophenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

219761-41-8 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[[2-chloro-4-[methy1(1-oxobuty1)amino]pheny1]methy1]-2-methy1-N-[(4-methylpheny1)sulfony1]- (CA INDEX NAME)

ANSWER 11 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continethenylphenyl)ethenyl]sulfonyl]-2,7-dimethyl- (CA INDEX NAME) (Continued)

Double bond geometry as shown.

219760-19-7 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[(4-amino-2-chlorophenyl)methyl]-2-methyl-N-(phenylsulfonyl)- (CA

$$\underset{\mathrm{Ph-S-NH-C}}{\overset{\circ}{\underset{\mathrm{N}}{\longrightarrow}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}{\longrightarrow}}} \underset{\mathrm{CH}_{2}}{\overset{\mathrm{N}}{\underset{\mathrm{C1}}{\longrightarrow}}} \underset{\mathrm{C1}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}{\longrightarrow}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}{\longrightarrow}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}{\longrightarrow}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}{\longrightarrow}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}{\longrightarrow}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}{\longrightarrow}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}{\longrightarrow}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}{\longrightarrow}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}{\longrightarrow}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}{\longrightarrow}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}{\underset{\mathrm{N}}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}} \underset{\mathrm{N}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}} \underset{\mathrm{N}}{\overset{\mathrm{N}}}$$

219761-34-9 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3H-[2-chloro-4-[(1-oxobutyl)amino]phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME) RN CN

$$\begin{array}{c} & & & \\ & &$$

L6 ANSWER 11 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$\begin{array}{c|c} & Me & O \\ & & N-C-p_{x}-n \\ & & & \\$$

219761-42-9 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[[2-chloro-4-(pentylamino)phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

219761-43-0 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3-[[2-chloro-4-(methylpentylamino)phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

219761-44-1 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxamide,
3f-[2-chloro-4-[(phenylsulfonyl)amino]phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

RN CN

219761-47-4 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxamide,

3-[[2-chloro-4-[[(phenylamino)carbonyl]amino]phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

ANSWER 11 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$\underset{0}{\text{MeO-C}} \underset{0}{\overset{\text{N-Me}}{\bigvee}} \underset{N}{\overset{\text{Me}}{\bigvee}} \underset{C1}{\overset{\text{NH}_2}{\bigvee}}$$

219765-02-3 CAPLUS
3H-Indidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[[phenylmethyl]amino]phenyl]methyl]-2-methyl-, methyl

(CA INDEX NAME)

NH-CH2-Ph

219765-04-5 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[(phenylmethyl)amino]phenyl]methyl]-2-methyl- (CA INDEX

219765-05-6 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[4-(butylamino)-2-chlorophenyl]methyl]-2-methyl-, methyl ester (CA
INDEX NAME)

219765-06-7 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[4-(butylamino)-2-chlorophenyl]methyl]-2-methyl- (CA INDEX NAME)

219765-00-1P 219765-01-2P 219765-02-3P 219765-04-5P 219765-04-5P 219765-06-PP 219765-06-PP 219765-07-0P 219765-07-0P 219765-07-0P 219765-09-0P 219765-13-5P 219765-13-5P 219765-13-5P 219765-13-6P 219766-83-3P 219767-83-5P 219767-83-69 219767-84-PP 219767-85-8P 219767-93-69 219767-90-5P 219767-91-0P 219768-01-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (Preparation of heterocyclic moiety-containing sulfonamide compds. as hypoglycemics) 219763-00-1 CAPLUS 3H-Imidacy 14,5-b]pyridine-5-carboxylic acid, 3-(2-chloro-4-nitrophenyl)methyl)-2-methyl-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

219765-01-2 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[(4-amino-2-chlorophenyl)methyl]-2-methyl-, methyl ester (CA INDEX

ANSWER 11 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$\begin{array}{c|c} & \text{Me} & \text{NHBu-n} \\ & \text{HO}_2\text{C} & \text{N} & \text{N} & \text{CH}_2 \\ & & \text{C1} \end{array}$$

219765-07-8 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxylic acid, 3-[[2-chloro-4-(dimethylamino)phenyl]methyl]-2-methyl-, methyl ester (CA INDEX NAME)

219765-08-9 CAPLUS
3H-Inidazo[4,5-b]pyridine-5-carboxylic acid,
3-[(2-chloro-4-(dimethylamino)phenyl]methyl]-2-methyl- (CA INDEX NAME)

219765-09-0 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[4-(acetylamino)-2-chlorophenyl]methyl]-2-methyl-, methyl ester (CA INDEX NAME)

219765-10-3 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[4-(acetylamino)-2-chlorophenyl]methyl]-2-methyl- (CA INDEX NAME)

219765-11-4 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[(methylsulfonyl)amino]phenyl]methyl]-2-methyl-, methyl
ester (CA INDEX NAME)

219765-12-5 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[(methylsulfonyl)amino]phenyl]methyl]-2-methyl- (CA INDEX NAME)

$$\underset{HO_{2C}}{\text{NV}} \underset{N}{\overset{\text{Me}}{\longrightarrow}} \underset{CH_{2}}{\overset{\text{NNH}-S-Me}{\longrightarrow}}$$

219765-13-6 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[(2-chloro-4-nitrophenyl)methyl]-2-methyl- (CA INDEX NAME)

219766-80-0 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[(2-chloro-4-nitrophenyl)methyl]-2,7-dimethyl-, methyl ester (CA INDEX NAME)

ANSWER 11 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) 3H-Imidazo[4,5-b]pyridine-5-carboxyllc acid, 3-[(2-chloro-4-[(1-oxobutyl)amino]phenyl]methyl]-2-methyl- (CA INDEX NAME)

219767-85-8 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[4-(benzoylamino)-2-chlorophenyl]methyl]-2-methyl- (CA INDEX NAME)

CN

219767-86-9 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[4-(benzoylmethylamino)-2-chlorophenyl]methyl]-2-methyl-, methyl

ester

(CA INDEX NAME)

219767-87-0 CAPLUS
3H-Tmidazo[4,5-b]pyridine-5-carboxylic acid,
3-[4-(benzoylmethylamino)-2-chlorophenyl]methyl]-2-methyl- (CA INDEX
NAME)

ANSWER 11 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

219766-83-3 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[(2-chloro-4-nitrophenyl)methyl]-2,7-dimethyl- (CA INDEX NAME)

219767-82-5 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxylic acid, 3-[[2-chloro-4-[(1-oxobutyl)amino]phenyl]methyl]-2-methyl-, methyl ester (CA INDEX NAME)

219767-83-6 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[4-(benzoylamino)-2-chlorophenyl]methyl]-2-methyl-, methyl ester (CA
INDEX NAME)

RN 219767-84-7 CAPLUS

ANSWER 11 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

219767-88-1 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxylic acid, 3-[2-chloro-4-[methyl(1-oxobutyl)amino]phenyl]methyl]-2-methyl-, methyl ester (CA INDEX NAME)

219767-89-2 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[methyl(1-oxobutyl)amino]phenyl]methyl]-2-methyl- (CA
INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{O} \\ & \text{N} & \text{N} - \text{CH}_2 \end{array}$$

219767-90-5 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-(pentylamino)phenyl]methyl]-2-methyl-, methyl ester (CA
INDEX NAME)

RN 219767-91-6 CAPLUS

ANSWER 11 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) 3H-Imidazo[4,5-b]pyridine-5-carboxylic acid, 3-[2-chloro-4-(pentylamino)phenyl]methyl]-2-methyl- (CA INDEX NAME)

219767-92-7 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-(methylpentylamino)phenyl]methyl]-2-methyl-, methyl ester

219767-93-8 CAPLUS 3H-Imidazo(4,5-b)pyridine-5-carboxylic acid, 3-[[2-chloro-4-[methylpentylamino)phenyl]methyl]-2-methyl- (CA INDEX

219767-94-9 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[(phenylsulfonyl)amino]phenyl]methyl]-2-methyl-, methyl
ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

L6 ANSWER 12 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1998:487856 CAPLUS
DOCUMENT NUMBER: 129:122581
ORIGINAL REFERENCE NO: 129:25121a
TITLE: Process for producing
2-(carboxyphenyl)-4-quinolinecarboxylic acid

.,r...,-,---quinoninecarboxylic acid

Inoue, Yoshihisa; Ebisu, Hajime; Ishida, Naomichi;
Nakamura, Norifumi; Sasaki, Jun; Okazoe, Takashi;
Morizawa, Yoshitomi; Yasuda, Arata; Wang, Shuzhong;
Ito, Tomoko
The Green Cross Corporation, Japan; Asahi Glass Co.,
Ltd.
U.S., 12 pp., Cont.-in-part of U.S. 5,478,832.
CODEN: USXXAM
Patent
English compounds INVENTOR(S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE:

English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5780634	Α	19980714	US 1995-549142		19951027
JP 06016641	A	19940125	JP 1993-121979		19930426
JP 06016659	A	19940125	JP 1993-125053		19930428
US 5478832	A	19951226	US 1993-55873		19930504
JP 06080664	A	19940322	JP 1993-164222		19930608
JP 2641686	B2	19970820			
JP 08119941	A	19960514	JP 1994-264121		19941027
JP 08119942	A	19960514	JP 1994-264122		19941027
US 5665881	A	19970909	US 1995-541965		19951010
PRIORITY APPLN. INFO.:			JP 1992-143407	A	19920508
			JP 1992-176188	A	19920610
			US 1993-55873	A2	19930504
			JP 1994-264121	A	19941027
			JP 1994-264122	A	19941027
			US 1995-541965	A2	19951010

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

CTHER SOURCE(S):

CASREACT 129:122581; MARPAT 129:122581

AB Quinolin-2-yl benzoic acid compds. which are useful as intermediates of quinoline compds. having angiotensin II antagonist activity prepared by decarboxylating 2-(carboxyphenyl)-4-quinolinecarboxylic acid compds. in which a carboxyl group bonded to a Ph group may be esterified, while a carboxyl group bonded to a quinoline ring is not esterified, and both rings may have one or more substituents inert to the decarboxylation reaction. Thus, 2-(2-carboxyphenyl)-6-methyl-4-quinolinecarboxylic acid (preparation given) was heated at 180° for 1 h to give 2-(quinolin-2-yl)benzoic acid.

IT 137421-60-4P 137421-61-5P 146555-58-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (process for producing 2-(carboxyphenyl)-4-quinolinecarboxylic acid compds.)

compds.) 137421-60-4 CAPLUS

ANSWER 11 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN L6 (Continued)

219767-95-0 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[(phenylsulfonyl)amino]phenyl]methyl]-2-methyl- (CA INDEX

219768-00-0 CAPLUS
3H-Imidazo[4,5-b]pyridine-5-carboxylic acid,
3=[2-chloro-4-[[(phenylamino)carbonyl]amino]phenyl]methyl]-2-methyl-,
methyl ester (CA INDEX NAME)

RN CN

219768-01-1 CAPLUS
3H-Inidazo[4,5-b]pyridine-5-carboxylic acid,
3-[[2-chloro-4-[[(phenylamino)carbonyl]amino]phenyl]methyl]-2-methyl-(CA

INDEX NAME)

13

31

OS .CITING REF COUNT:

THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)
THERE ARE 31 CITED REFERENCES AVAILABLE FOR

REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 12 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN 3H-Imidazo[4,5-b]pyridine, sethyl-5,7-dimethyl-3-[(4-nitrophenyl)methyl]-(CA INDEX NAME) (Continued)

137421-61-5 CAPLUS
Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

RN

3H-Imidazo[4,5-b]pyridine, 2-butyl-3-[(4-nitrophenyl)methyl]- (CA INDEX NAME)

OS.CITING REF COUNT: RECORD THERE ARE 5 CAPLUS RECORDS THAT CITE THIS

(6 CITINGS)
THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

FORMAT

ACCESSION NUMBER: DOCUMENT NUMBER:

ANSWER 13 OF 29 CAPLUS COPYRIGHT 2011 ACS ON STN
SSION NUMBER: 1996:333882 CAPLUS
MENT NUMBER: 125:58340
ITHAL REFERENCE NO: 125:11217a,11220a
E: Process for producing (quinolin-2-y1)benzoic acids
NTOR(S): Wang, Shuzhong, Ito, Tomoko; Okazoe, Takashi;
Morizawa, Yoshitomi
NT ASSIGNEE(S): Asahi Glass Company Ltd., Japan; The Green Cross
Corporation ORIGINAL REFERENCE NO.: TITLE: INVENTOR(S):

PATENT ASSIGNEE(S):

Corporation
Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW SOURCE:

DOCUMENT TYPE:

English LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	ENT I	1O.			KINI)	DATE		AP	PLICAT	I NOI	10.		DATE
							-								
	EP	7093	77			A1		1996	0501	EP	1995-	1169	57		19951027
	ΕP	7093	77			В1		1999	0929						
		R:	BE,	CH,	DE,	DK,	ES,	FR,	GB,	IT, L	I, NL,	SE			
	JP	08119	9941			A		1996	0514	JP	1994-	2641	21		19941027
	JP	08119	9942			A		1996	0514	JP	1994-	2641	22		19941027
	ES	2136	782			Т3		1999	1201	ES	1995-	1169	57		19951027
PRIOR	ITY	APPI	LN. I	INFO.	. :					JP	1994-	2641	21	A	19941027
										JP	1994-	2641	22	Α	19941027

OTHER SOURCE(S): CASREACT 125:58340; MARPAT 125:58340

The title compds. [I; R1-R9 = H, halo, alkyl, cycloalkyl, etc.], useful

intermediates of angiotensin II antagonists which are effective for treating hypertension, were prepared by decarboxylating a 2-carboxyphenyl-4-quinolinecarboxylic acid II with, e.g., concentrated H2SO4 in

4 in quinoline at 160° 137421-60-4P 146555-60-4P

137421-61-5P 146555-58-0P

146555-60-4P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (process for producing (quinolin-2-yl)benzoic acids)
RN 137421-60-4 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine,
2-ethyl-5,7-dimethyl-3-[(4-nitrophenyl)methyl](CA INDEX NAME)

L6 ANSWER 14 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1995:657621 CAPLUS
DOCUMENT NUMBER: 123:55878
ORIGINAL REFERENCE NO:: 123:10063a,10066a
TITLE: Preparation of N-phenyl-4-(heterocyclylmethyl)aniline and (heterocyclylmethyl)dibenz[b, £] azepine

derivatives INVENTOR(S):

Mori, Shinichiro; Nakajo, Iwao; Ogasa, Takehiro; Kasai, Masaji; Tomioka, Shinji; Ooshima, Etsuo;

Kanai,

Fumihiko; Kumazawa, Toshiaki Kyowa Hakko Kogyo Kk, Japan Jpn. Kokai Tokkyo Koho, 17 pp. CODEN: JKXXAF Patent Japanese

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE DATE 19950307 JP 1993-210419 JP 1993-210419 19930825 JP 07061983 PRIORITY APPLN. INFO.: 19930825

CASREACT 123:55878; MARPAT 123:55878 OTHER SOURCE(S):

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. [I; V = H, (CH2)mP (wherein m = 1-3; P = cyano, CO2H, tetrazolyl, cyanophenyl, carboxyphenyl, tetrazolylphenyl); X1 = X2 = H or X1X2 = CH2, O, S, CH2, CH2, CH2S, SCH2, CH2CH2, CH:CH; R = Q, Cl, N(COR7)CH8R8R9, Q2; R4 - R5 = H, halo, alkyl, cycloalkyl, haloalkyl, OH, CH2OH, alkoxy, alkylamino, CO2H, alkoxycarbonyl; Z1-Z2-Z3-Z4 = N:CHCH:CH, CH2CH4, (CH2)4; R7, R8 = H, alkyl, cycloalkyl; R9 = CO2H, alkoxycarbonyl, CONH2, CH2OH; R10, R11 = H, alkyl; Z = N, CH] are arred

by reaction of quaternary ammonium salts I $\{R = N+R1R2R3, Q3\}$, R1 = alky1, ally1, CH2Ph; R2, R3 = alky1, cycloalky1; Y = halo, alkoxysulfonyloxy, aryloxysulfonyloxy, alkylsulfonyloxy, arylsulfonyloxy; W = H, alky1, Ph;

2 = CH2, S, O, (un)substituted NH; n = 1-3] with (heterocyclic) amines H-Q, H-Q1, HN(COR7)CHR8R9, and H-Q2. They are useful as antagonists of angiotensin II receptor for the treatment of hypertension, ischemic heart failure, kidney failure, and glaucoma (no data) or intermediates thereof. Thus, 171 g dibenz[b,f]azepine derivative (II; R = piperidino) was dissolved in EtOAc followed by adding 46.5 mL MeI and the resulting mixture was stirred at 40° for 8 h to give a quaternary ammonium salt II (V = H, R = Q4). 2-Ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridine (36.55 g) was dissolved in DMF and after adding 5.62 g LiOH, stirred at room temperature for

temperature for $$15\ \mathrm{min}$$. To the resulting mixture were added the latter salt II (V = H,

Q4) and DMF and the resulting mixture was stirred at 40° for 8 h to give 45.9% a title compound II (V = H, R = Q5) which was converted into

ANSWER 13 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

137421-61-5 CAPLUS
Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

146555-58-0 CAPLUS
3H-Imidazo[4,5-b]pyridine, 2-butyl-3-[(4-nitrophenyl)methyl]- (CA INDEX NAME)

146555-60-4 CAPLUS
Benzenamine, 4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX

NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

L6 ANSWER 14 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

N-tetrazolylmethyl deriv. II (V = Q6, R = Q5) (presumably angiotensin II receptor antagonist) in 3 steps.

II 164520-40-5P 164520-41-6P

RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate for preparation of N-phenyl-p-(heterocyclylmethyl)aniline and (heterocyclylmethyl)dibenzazepine derivs. as angiotensin II receptor antagonists)

RN 164520-40-5 CAPLUS

CN Accetonitrile, 2-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]phenylamino]- (CA INDEX NAME)

$$\stackrel{\text{Me}}{\underset{\text{Me}}{\bigvee}} \stackrel{\text{N}}{\underset{\text{N}}{\bigvee}} \stackrel{\text{Et}}{\underset{\text{CH}_2-\text{CN}}{\bigvee}}$$

164520-41-6 CAPLUS
1H-Tetrazole-5-methanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-phenyl-1-(triphenylmethyl)- (CA INDEX NAME)

164520-43-8P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of N-phenyl-p-(heterocyclylmethyl)aniline and (heterocyclylmethyl)dibenzazepine derivs. as angiotensin II receptor antagonists)

antagonists)
164520-43-8 CAPLUS
2H-Tetrazole-5-methanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-phenyl- (CA INDEX NAME)

OS.CITING REF COUNT: THERE ARE 1 CAPLUS RECORDS THAT CITE THIS (1 CITINGS)

ANSWER 15 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) condensed with 5,7-dimethyl-2-ethylimidazo[4,5-b]pyridine and the deprotected product etherified by 2-MeC6H4CHBrCO2Me to give, after

deprotected product etherified by 2-MeCGH4CHBLTCO2Me to give, after sapon.,

title compd. II. I had IC50 of <50 µM against endothelin binding at cloned human endothelin receptors in vitro.

II 137420-34-9P 137420-35-0P 138359-17-8P 151954-14-2P 151954-15-3P 151954-6-4P 151954-17-5P 151954-18-6P 151954-20-0P 151954-22-2P R.B. BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of [(imidazopyridinomethyl)phenoxylphenylacetates and analogs as endothelin receptor antagonists)

as endothelin receptor antagonists) 137420-34-9 CAPLUS Benzeneacetic acid, $\alpha = [4-[2-{\rm ethyl}-5,7-{\rm dimethyl}-3{\rm H-imidazo}[4,5-{\rm b}]pyridin-3-yl)methyl]phenyl](1-methylethyl)amino]- (CA INDEX NAME)$

RN

137420-35-0 CAPLUS 2H-Tetrazole-5-methanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-methyl-q-phenyl- (CA INDEX NAME)

138359-17-8 CAPLUS Benzeneacetic acid, $\alpha = [[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl] [1-methylpropyl)amino] - (CA INDEX NAME)$

ACCESSION NUMBER: DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: TITLE:

ANSWER 15 OF 29 CAPLUS COPYRIGHT 2011 ACS ON STN

185SION NUMBER: 1995:573696 CAPLUS

MENT NUMBER: 122:374549

122:374549

122:57205a,57208a

E: [(imidazopyridinomethyl)phenoxy]phenylacetates and analogs as endothelin receptor antagonists

MITOR(S): Dhanoa, Daljit S.; Fitch, Kenneth J.; Veber, Daniel F.; Walsh, Thomas F.; Williams, David L., Jr.

MENT ASSIGNEE(S): Merck and Co., Inc., USA

Brit. UK Pat. Appl., 198 pp.

CODEN: BAXXDU

MENT TYPE: Paten

UMGE: English INVENTOR(S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

PATENT NO. KIND DATE APPLICATION NO. 19940317 19930319 19930319 GB 2276383 US 5374638 PRIORITY APPLN. INFO.: GB 1994-5312 US 1993-34456 US 1993-34456 19940928

US 1993-34456 A
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARFAT 122:314549
GI

AB Title compds. [I; E = bond, SOO-2(CH2)0-5, O; R = CO2H, 5-tetrazolyl(carbamoyl), P(O)(OH)2, etc.; Rl = alk(en)yl, Ph, heteroaryl, etc.; R9,Rl0 = H, alk(en)yl, halo, alkoxy, etc.; R1,Rl2 = H, alkyl, Ph, etc.; X = bond, O, CH2O, NH, etc.; Y = bond, O, NH, etc.; Z = CR4:CR4CR4:CR4, CR4:CR4CR4:N, CR4:NCR4:N, etc.; R4 = H, alkyl, halo, OH, etc.] were prepared Thus, 3,4-Cl(Me3CMe2SiO)C6H3CH2Br (preparation given) was

ANSWER 15 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

151954-14-2 CAPLUS Benzeneacetic acid, $\alpha=[[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]- (CA INDEX NAME)$

151954-15-3 CAPLUS

Benzeneactic acid, $\alpha-[[4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-\text{b}]pyridin-3-yl)methyl]methyl]methylamino]- (CA INDEX NAME)$

151954-16-4 CAPLUS

Torsion - Carboo - C

151954-17-5 CAPLUS Benzeneacetic acid, $\alpha\text{-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-dimethyl-3H-imi$

ANSWER 15 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) b]pyridin-3-yl)methyl]phenyl]-2-propen-1-ylamino]- (CA INDEX NAME)

 $\label{eq:capprox} \begin{array}{lll} 151954-18-6 & \text{CAPLUS} \\ \text{Benzeneacetic acid, } \alpha-[\,[4-[\,(2-\text{ethyl-5,7-dimethyl-3H-imidazo}\,[4,5-b]\text{pyridin-3-yl}\,)\,\text{methyl}]\text{phenyl}]\text{propylamino}]--- (CA INDEX NAME) \\ \end{array}$

 $151954-20-0 \quad CAPLUS \\ Benzeneacetic acid, \; \alpha-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl](2-methylpropyl)amino]- \quad (CA INDEX NAME)$

RN 151954-22-2 CAPLUS

Benzeneacetic acid, $\alpha-[(cyclopropylmethyl)[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]- (CA INDEX NAME)$

ANSWER 15 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
Carbamic acid, [4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Me N
$$CH_2$$
 $N-COBu-t$

137421-64-8 CAPLUS Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-M-methyl- (CA INDEX NAME)

137421-65-9 CAPLUS Benzeneacetic acid, $\alpha-[[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo}[4,5-b]pyxidin-3-yl)]$ methyl]methylamino]-, methyl ester (CA INDEX NAME)

137421-66-0 CAPLUS Carbamic acid, ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

CAPLUS COPYRIGHT 2011 ACS on STN 137421-61-5P 137421-62-6P 137421-65-9P 137421-67-1P 137421-68-2P 137421-73-9P 137421-71-7P 137421-73-9P 137421-74-0P ANSWER 15 OF 29 137421-60-4P 137421-63-7P 137421-66-0P (Continued) 137421-69-3P 137421-72-8P 137421-75-1P 151954-52-8P 137421-76-2F 137421-77-3F

REF. RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of [(imidazopyridinomethyl)phenoxy]phenylacetates and

analogs
as endothelin receptor antagonists)
RN 137421-60-4 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine,
2-ethyl-5,7-dimethyl-3-[(4-nitrophenyl)methyl](CA INDEX NAME)

137421-61-5 CAPLUS Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

137421-62-6 CAPLUS Carbamic acid, [4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-y)methyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 137421-63-7 CAPLUS

ANSWER 15 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

137421-67-1 CAPLUS
Benzenamine, N-ethyl-4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

137421-68-2 CAPLUS Benzeneacetic acid, α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyxidin-3-yl)methyl]phenyl]amino]-, methyl ester (CA INDEX NAME)

137421-69-3 CAPLUS
Carbamic acid, [4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phonyl]propyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

137421-70-6 CAPLUS
Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-propyl- (CA INDEX NAME)

137421-71-7 CAPLUS Benzeneacetic acid, α-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]propylamino]-, methyl ester (CA INDEX NAME)

RN 137421-72-8 CAPLUS

Benzeneacetic acid, $\alpha-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, methyl ester (CA INDEX NAME)$

RN 137421-73-9 CAPLUS

ANSWER 15 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN

Benzeneacetic acid, $\alpha-[4-[2-ethy1-5,7-dimethy1-3H-imidazo[4,5-b]pyxidin-3-y1)methy1]pheny1]-2-propen-1-ylamino]-, methy1 ester (CA INDEX NAME)$ CN

OS.CITING REF COUNT: RECORD

THERE ARE 3 CAPLUS RECORDS THAT CITE THIS

(4 CITINGS)

ANSWER 15 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) Benzeneacetic acid, $\alpha_-[\{4-[(2-{\rm ethyl}-5,7-{\rm dimethyl}-3H-{\rm imidazo}\,[4,5-{\rm blpyridin-3-yl)methyl]phenyl](2-methylpropyl)aminol-, methyl ester (CA$ INDEX NAME)

137421-74-0 CAPLUS Benzeneacetic acid, α =[(cyclopropylmethyl)[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, methyl ester (CA INDEX NAME)

137421-75-1 CAPLUS Benzeneacetic acid, $\alpha=[4-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl](1-methylpropyl)amino]-, methyl ester (CA INDEX NAME)$

137421-76-2 CAPLUS Benzeneacetic acid, α -[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl](1-methylethyl)amino]-, methyl ester (CA INDEX NAME)

L6 ANSWER 16 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1995:364460 CAPLUS
DOCUMENT NUMBER: 122:187483
ORIGINAL REFERENCE NO.: 122:34347a,34350a
TITLE: Facile, Regioselective Syntheses of N-Alkylated
2,3-Diaminopyridines and Imidazo[4,5-b]pyridines
AUTHOR(S): Khanna, Ish K.; Weier, Richard M.; Lentz, Kirk T.;
Swenton, Lydia; Lankin, David C.
CORPORATE SOURCE: Department of Chemistry, G. D. Searle Co., Skokie,
OK,

CORPORATE SOURCE:

CORPORATE SOURCE:

Department of Chemistry, G. D. Searle Co., Skokie, OK,

60077, USA

SOURCE:

Journal of Organic Chemistry (1995), 60(4), 960-5

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER:
American Chemical Society

DOCUMENT TYPE:
Journal
LANGUAGE:

CASREACT 122:187483

AB Useful strategies are reported for the differentiation and selective
synthetic manipulations of amino groups at the 2- and 3-positions of
pyridines. It has been found that 2,3-diaminopyridine reacts with
aldehydes under reductive amination conditions to give predominantly the
N-3 alkylated products, which have been used for the regioselective
syntheris of N-1 substituted imidazo[4,5-b]pyridines. Investigations
using 2-formamido-3-aminopyridine (13), synthesized in two steps from
2-amino-3-nitropyridine, show it to be a versatile intermediate for the
regioselective synthesis of either N-1 or N-3 substituted
imidazo[4,5-b]pyridines, depending upon the conditions employed. The
reductive amination of aldehydes with 13 using borane-pyridine in acetic
acid affords the N-1 substituted imidazo[4,5-b]pyridines in one step,
whereas reaction of 13 with alkyl halides in the presence of a suitable
base (e.g., cesium carbonate) yields the N-3 substituted
imidazo[4,5-b]pyridines. The generality of this synthetic methodol. is
noted.
IT 61529-31-3P

noted. 161529-31-3P тт

1615/29-31-3P Rf.; SPN (Synthetic preparation); PREP (Preparation) (regioselective syntheses of alkylated diaminopyridines and inidazopyridines) 1615/29-31-3 (APLUS 3H-Imidazo[4,5-b]pyridine, 3-[(4-nitrophenyl)methyl]- (CA INDEX NAME)

THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS) OS.CITING REF COUNT:

ANSWER 17 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN SSION NUMBER: 1995:67127 CAPLUS

ACCESSION NUMBER:

122:23206 122:4393a,4396a DOCUMENT NUMBER: ORIGINAL REFERENCE NO.:

Nonpeptide angiotensin II (AII) receptor antagonists: N-substituted indole, dihydroindole, phenylaminophenylacetic acid and TITLE:

acvlsulfonamide-based

acylsulfonamide-based

AUI receptor antagonists

AUI roceptor antagonists

Dhanoa, D. S.; Bagley, S. W.; Chang, R. S. L.; Lotti,
V. J.; Chen, T.; Kivlighn, S. D.; Zingaro, G.; Siegl,
P. K. S.; Greenlee, W. J.

CORPORATE SOURCE: Merck Res. Lab., Rahway, NJ, 07065, USA

Pept.: Chem., Struct. Biol., Proc. Am. Pept. Symp.,
13th (1994), Meeting Date 1993, 296-8. Editor(s):
Hodges, Robert S.; Smith, John A. ESCOM: Leiden,
Neth.

CODIN: 60LXAW

DOCUMENT TYPE: Conference
LANGUAGE: English

AB The design and biol. activity of new series of angiotensin II receptor
antagonists derived from N-substituted indole, dihydroindole,
phenylaminophenylacetic acid and acylsulfonamide are presented.

IT 151954-14-2 151954-15-3 151954-18-6 151954-19-7
151954-25-5 151954-29-9 151954-33-9
151954-37-9 151954-39-0 151954-33-5
151954-37-9 151954-38-0 151954-39-1

RL BAC (Biological activity or effector, except adverse); BSU
(Biological)

Study, unclassified); PRP (Properties); BIOL (Biological study)

(Biological study, unclassified); PRP (Properties); BIOL (Biological study) (structure-activity relationships of nonpeptide angiotensin II

antagonists) 151954-14-2 CAPLUS Benzeneactic acid, α =[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]- (CA INDEX NAME)

151954-15-3 CAPLUS Benzeneacetic acid,

Benzeneacetic acid, $\alpha-[[4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-b]pyridin-3-yl)methyl]phenyl]methylamino]- (CA INDEX NAME)$

(Continued) ANSWER 17 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN

151954-25-5 CAPLUS Benzeneacetic acid, α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-methylphenyl]amino]- (CA INDEX NAME)

151954-29-9 CAPLUS Benzeneacetic acid, $\alpha-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-methylphenyl]-2-propen-1-ylamino]-2-methyl- (CA INDEX NAME)$

151954-33-5 CAPLUS Benzeneacetic acid, α =[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyxidin-3-yl)methyl]phenyl]amino]-3-methyl- (CA INDEX NAME)

151954-37-9 CAPLUS
Benzeneacetamide, α-[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-N-(phenylsulfonyl)- (CA INDEX NAME)

ANSWER 17 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

151954-16-4 CAPLUS Benzeneacetic acid, α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]- (CA INDEX NAME)

Me Et
$$N_{N}$$
 N_{N} N_{N}

151954-17-5 CAPLUS Benzeneacetic acid, $\alpha = [4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo[4,5-b]pyridin-3-yi]methyl]phenyl]-2-propen-1-ylamino]- (CA INDEX NAME)$

151954-18-6 CAPLUS

Benzeneacetic acid, α-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]propylamino]- (CA INDEX NAME)

 $\label{eq:continuous} \begin{array}{lll} 151954-19-7 & \text{CAPLUS} \\ \text{Benzeneacetic acid, } \alpha-\{\text{butyl}\{4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}\{4,5-\text{b}]\text{pyxidin-3-yl})\text{methyl}\}\text{phenyl}\}\text{amino}\}---\\ & (\text{CA INDEX NAME}) \end{array}$

ANSWER 17 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN CN

 $\label{eq:capprox} \begin{array}{lll} 151954-38-0 & \text{CAPLUS} \\ \text{Benzeneacetamide, } \alpha-[\,[4-[\,(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}\,[4,5-b]\text{pyridin-3-yl})\,\text{methyl}\,]\text{-}2-\text{propen-1-ylamino}]-N-(\text{phenylsulfonyl})-1-(\text{phenylsulfonylsulfonyl)-1-(\text{phenylsulfonylsulfonylsulfonylsulfonylsulfonylsulfonylsulfonylsulfonylsulfonylsulfonylsulfonylsulfonylsulfonyl$

(CA INDEX NAME)

151954-39-1 CAPLUS Benzeneacetamide, $\alpha-[[4-[(2-\text{ethyl-5,7-dimethyl-3H-inidazo[4,5-b]pyridin-3-yl)methyl]phenyl]propylamino]-N-(phenylsulfonyl)- (CA INDEX NAME)$

159720-53-3 CAPLUS 1-Tetrazolidinemethanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-methyl- α -phenyl- (CA INDEX NAME)

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

151954-47-1 CAPLUS Benzeneacetic acid, $\alpha-[\{4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo}[4,5-b]pyridin-3-yl)methyl]-2-methylphenyl]amino]-2-methyl-, ethyl ester (CA INDEX NAME)$

151954-48-2 CAPLUS RN

151954-48-2 CAPLUS Benzenearectic acid, $\alpha = [\{4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-3,5-bis(trifluoromethyl)-, methylester (CA INDEX NAME)$

151954-49-3 CAPLUS Benzeneacetic acid, $\alpha-[\{4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-2,5-difluoro-, ethyl ester (CA INDEX NAME)$

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN SSION NUMBER: 1994:499055 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: TITLE:

1994:4990bb (APPLOS 121:99055 121:17539a,17542a Non-peptide anyiotensin II receptor antagonists, 2. Design, synthesis, and biological activity of N-substituted (phenylamino)phenylacetic acids and

acyl

sulfonamides. [Erratum to document cited in CA120(5):45190z]
Dhanoa, Daljit S.; Bagley, Scott W.; Chang, Raymond AUTHOR(S): L.; Lotti, Victor J.; Chen, Tsing Bau; Kivlighn,

Salab

Salah

D.; Zingaro, Gloria J.; Siegl, Feter K. S.; Patchett, Arthur A.; Greenlee, William J.

CORPORATE SOURCE: Merck Res. Lab., Rahway, NJ, 07065, USA
SOURCE: COEDN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal of Medicinal Chemistry (1994), 37(7), 1034

COEDN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: English
AB The errors were not reflected in the abstract or the index entries.

IT 137421-62-65 137421-72-89 151954-46-0P
151954-47-1P 151954-48-2P 151954-49-3P
151954-50-6P 151954-51-7P
RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and alkylation of (Erratum))

RN 137421-62-6 CAPLUS

CN Carbamic acid, [4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN

137421-72-8 CAPLUS Benzeneacetic acid, $\alpha = [[4-[(2-ethy1-5,7-dimethy1-3H-imidazo[4,5-b]pyxidin-3-y1)methy1]pheny1]amino]-, methy1 ester (CA INDEX NAME)$

 $\label{eq:capprox} \begin{array}{lll} 151954-46-0 & \text{CAPLUS} \\ \text{Benzeneacetic acid,} & \alpha-\left[\left[4-\left[\left(2-\text{ethyl-5},7-\text{dimethyl-3H-inidazo}\left[4,5-\text{b}\right]\text{pyridin-3-yl}\right)\text{methyl}\right]-2-\text{methylphenyl}\right]\text{amino}\right]-, \text{ methyl ester} & \text{(CA INDEX NAME)} \end{array}$

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

151954-50-6 CAPLUS
Benzeneacetic acid, 2-chloro-α-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, ethyl ester (CA INDEX

151954-51-7 CAPLUS Benzeneacetic acid, $\alpha = [4-[(2-\text{chyl}-5,7-\text{dimethyl}-3H-\text{imidazo}[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-3-methyl-, ethyl ester (CA NAME)$

IT 137420-35-0P 138359-17-8P 151954-14-2P 151954-15-3P 151954-16-4P 151954-17-5P 151954-17-5P 151954-18-20-0P 151954-28-2P 151954-23-3P 151954-24-4P 151954-28-8P 151954-26-6P 151954-27-7P 151954-28-8P 151954-29-9P 151954-30-2P 151954-31-3P 151954-32-4P 151954-33-5P 151954-31-3P 151954-32-4P 151954-33-5P 151954-37-9P 151954-38-0P 151954-39-1P RL: B&C (Biological activity or effector, except adverse); BSU (Biological

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and angiotensin II antagonist activity of, structure in relation to (Erratum)) 137420-35-0 CAPLUS 2H-Tetrazole-5-methanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-methyl-a-phenyl- (CA INDEX NAME)

138359-17-8 CAPLUS Benzeneacetic acid, $\alpha-[[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl](1-methylpropyl)amino]- (CA INDEX NAME)$

RN

151954-15-3 CAPLUS Benzeneacetic acid, α -[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]methylamino]- (CA INDEX NAME)

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

151954-20-0 CAPLUS Benzeneacetic acid, $\alpha = [[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl](2-methylpropyl)amino] - (CA INDEX NAME)$

151954-23-3 CAPLUS Benzeneacetic acid, $\alpha=\lceil [4-\lceil (2-\text{ethyl}-5,7-\text{dimethyl}-3H-\text{imidazo} [4,5-\text{blypxidin-3-yl)methyl}-2-\text{methylphenyl}amino]- (CA INDEX NAME)$

$$\label{eq:continuous} \begin{split} 151954-24-4 & \text{CAPLUS} \\ \text{Benzeneacetic acid, } & \alpha - \text{[[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-methylphenyl]methylamino]-} & \text{(CA INDEX NAME)} \end{split}$$

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

151954-16-4 CAPLUS Benzeneacetic acid, α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]- (CA INDEX NAME)

$$\stackrel{\text{Me}}{\longrightarrow} \stackrel{\text{Et}}{\longrightarrow} \stackrel{\text{N}}{\longrightarrow} \stackrel{\text{D}}{\longrightarrow} \stackrel{\text{D}}$$

151954-17-5 CAPLUS Benzeneacetic acid, $\alpha = [4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo[4,5-b]pyridin-3-yi]methyl]phenyl]-2-propen-1-ylamino]- (CA INDEX NAME)$

151954-18-6 CAPLUS Benzeneacetic acid, $\alpha=[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]propylamino]- (CA INDEX NAME)$ RN CN

RN

151954-19-7 CAPLUS Benzeneacetic acid, $\alpha = [buty1\{4-[(2-ethy1-5,7-dimethy1-3H-imidazo\{4,5-b]pyxidin-3-y1)methy1]pheny1]amino]- (CA INDEX NAME)$

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

151954-25-5 CAPLUS Benzeneacetic acid, α =[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-methylphenyl]amino]- (CA INDEX NAME)

RN CN

 $151954-26-6 \quad CAPLUS \\ Benzeneacetic acid, \quad \alpha-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-methylphenyl]-2-propen-1-ylamino]- \quad (CA INDEX NAME)$

RN

151954-27-7 CAPLUS Benzeneacetic acid, $\alpha = [[4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-\text{b]pyridin-3-y1}]\text{methyl]-2-methylphenyl]propylamino]- (CA INDEX NAME)$

151954-29-9 CAPLUS Benzeneacetic acid, $\alpha = [4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}]4,5-\text{b]pyridin-3-yl)methyl]-2-methylphenyl]-2-propen-1-ylamino]-2-methyl- (CA INDEX NAME)$

151954-30-2 CAPLUS Benzeneacetic acid, $\alpha-[4-[(2-ethy1-5,7-dimethy1-3H-imidazo[4,5-b]pyridin-3-y1)methy1]pheny1]amino]-3,5-bis(trifluoromethy1)- (CA INDEX NAME)$

151954-31-3 CAPLUS RN

 $\begin{array}{lll} & \text{CAFDOS} & \text{CAFDOS} \\ & \text{Benzeneacetic acid, } & \alpha - [[4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-\text{b}]pyridin-3-yl)methyl]methylamino]-2,5-difluoro & (CA INDEX NAME) \\ \end{array}$ CN

151954-32-4 CAPLUS Benzeneacetic acid, 2-chloro- α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) b]pyridin-3-yl)methyl]phenyl]-2-propen-1-ylamino]-2,5-difluoro- (CA INDEX NAME)

 $\begin{array}{lll} 151954-37-9 & \texttt{CAPLUS} \\ \texttt{Benzeneacetamide,} & \alpha-[\texttt{ethyl}[4-[(2-\texttt{ethyl-5},7-\texttt{dimethyl-3H-imidazo}[4,5-\texttt{b}]pyridin-3-yl)methyl]phenyl]amino]-N-(\texttt{phenylsulfonyl})- & (\texttt{CA INDEX NAME}) \end{array}$

RN

 $\label{eq:capprox} \begin{array}{lll} 151954-38-0 & \text{CAPLUS} \\ \text{Benzeneacetamide, } \alpha-[[4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imida}zo[4,5-\text{b}]pyridin-3-yl)methyl]phenyl]-2-propen-1-ylamino]-N-(phenylsulfonyl)-\\ \end{array}$

(CA INDEX NAME)

151954-39-1 CAPLUS Benzeneacetamide, $\alpha = [4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]propylamino]-N-(phenylsulfonyl)- (CA INDEX NAME)$

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]- (CA INDEX NAME) (Continued)

 $151954-34-6 \quad CAPLUS \\ Benzeneacetic acid, & \alpha-[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pytidin-3-yl)nethyl]phenyl]amino]-2,5-difluoro- (CA INDEX NAME) \\ \\$

151954-35-7 CAPLUS

Benzeneacetic acid, α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-3,5-bis(trifluoromethyl)- (CA INNAME) RN CN

151954-36-8 CAPLUS Benzeneacetic acid, $\alpha\text{-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-dimethyl-3H-imi$

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

137421-63-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and deprotection of (Erratum))
137421-63-7 CAPLUS
Carbamic acid, [4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

137421-64-8P

13/421-64-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reaction of, with benzaldehyde and cyanide (Erratum)) 137421-64-8 CAPLUS Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl)-N-methyl- (CA INDEX NAME)

RN

IT 137421-61-5P 151954-44-8P
RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bromophenylacetic acid derivs.
(Erratum))
RN 137421-61-5 CAPLUS

BM

151954-44-8 CAPLUS
Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-methyl- (CA INDEX NAME)

IT 137421-60-4P 151954-41-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of (Erratum))
RN 137421-60-4 CAPLUS
CN 3H-Inidazo [4, 5-b] pyridine,
2-ethyl-5, 7-dimethyl-3-[(4-nitrophenyl)methyl](CA INDEX NAME)

151954-41-5 CAPLUS
3H-Inidazo[4,5-b]pyridine, 2-ethyl-5,7-dimethyl-3-[(3-methyl-4-nirophenyl)methyl]- (CA INDEX NAME)

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

137421-73-9 CAPLUS Benzeneacetic acid, $\alpha-[[4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-b]pyridin-3-yl)methyl]phenyl](2-methylpropyl)amino]-, methyl ester (CA INDEX NAME)$

137421-75-1 CAPLUS RN

Benzeneacetic acid, $\alpha-[\{4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b])pyridin-3-yl)methyl]phenyl](1-methylpropyl)amino]-, methyl ester (CA INDEX NAME)$

151954-52-8 CAPLUS Benzeneacetic acid, $\alpha-[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo[4,5-bl]pyridin-3-yl)methyl]phenyl]-2-propen-1-ylamino]-, methyl ester (CA INDEX NAME)$

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

137421-65-9P 137421-68-2P 137421-71-7P
137421-73-9P 137421-75-1P 151954-52-8P
151954-53-9P 151954-54-0P 151954-55-1P
151954-6-2P 511954-67-3P 151954-68-4P
151954-56-2P 511954-63-1P 151954-61-9P
151954-62-0P 151954-63-1P 151954-61-9P
151954-62-0P 151954-63-1P 151954-64-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and saponification of (Erratum))
137421-65-9 CAPLUS
Benzeneacetic acid, a-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]methylamino]-, methyl ester (CA INDEX NAME)

137421-68-2 CAPLUS Benzeneacetic acid, α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, methyl ester (CA INDEX NAME) RN CN

RN 137421-71-7 CAPLUS

13/42-71-7 CARDOS Benzeneacetic acid, α-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]propylamino]-, methyl ester (CA INDEX NAME)

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

151954-53-9 CAPLUS Benzeneacetic acid, α -[butyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, methyl ester (CA INDEX NAME)

RN

 $\label{eq:capping} \begin{array}{lll} 151954-54-0 & \text{CAPLUS} \\ \text{Benzeneacetic acid, } & \alpha-[\{4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-\text{b}]pyridin-3-yl) methyl]-2-methylphenyl]methylamino]-, methyl ester & (CAINDEX NAME) \\ \end{array}$

151954-55-1 CAPLUS Benzeneacetic acid, α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-methylphenyl]amino]-, methyl ester (CA INDEX NAME)

151954-56-2 CAPLUS Benzeneacetic acid, $\alpha = [4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-b])yridin-3-y1)methyl]-2-methylphenyl]-2-propen-1-ylamino]-, methyl$

(CA INDEX NAME)

151954-57-3 CAPLUS Benzeneacetic acid, $\alpha=[\{4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]-2-methylphenyl]propylamino]-, methyl ester (CA INDEX NAME)$

$$\begin{array}{c|c} & \text{Me} & \text{N} \\ & \text{N} \\ & \text{N} \\ & \text{N} \\ & \text{CH}_2 \\ & \text{Me} \\ & \text{N} \\ & \text{Pr-n} \\ & \text{Me} \\ & \text{Ph} \\ & \text{O} \\ & \text{C-C-CMe} \\ & \text{Ph} \\ & \text{O} \\ \end{array}$$

151954-58-4 CAPLUS Benzeneacetic acid, $\alpha=[\{4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-b]pyxidin-3-yl)methyl]-2-methylphenyl]-2-propen-1-ylamino]-2-methyl-, ethyl ester (CA INDEX NAME)$

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

151954-62-0 CAPLUS Benzeneacetic acid, α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-2,5-difluoro-, ethyl ester (CA INDEX NAME)

151954-63-1 CAPLUS Benzeneacetic acid, α =[ethy1[4-[(2-ethy1-5,7-dimethy1-3H-imidazo[4,5-b]pyridin-3-y1)methy1]pheny1]amino]-3,5-bis(trifluoromethy1)-, methy1 ester (CA INDEX NAME)

 $151954-64-2 \quad CAPLUS \\ Benzeneacetic acid, \quad \alpha-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]phenyl]-2-propen-1-ylamino]-2,5-difluoro-, ethyl ester (CA INDEX NAME)$

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

 $151954-60-8 \quad CAPLUS \\ Benzeneacetic acid, 2-chloro-\alpha-[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, ethyl ester (CA INDEX NAME)$

151954-61-9 CAPLUS Benzeneacetic acid, α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-3-methyl-, ethyl ester (CA INDEX NAME)

ANSWER 18 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$\begin{array}{c|c} \text{Me} & \text{CH}_2\text{--}\text{CH} \\ \text{CH}_2\text{--}\text{CH}_2 \\ \text{N--}\text{CH}_2 \\ \text{N--}\text{CH}_2 \\ \text{F---}\text{F} \end{array}$$

137421-77-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and tetrazole derivative preparation from (Erratum))
137421-77-3 CAPLUS
Benzeneacetonitrile, α -[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]methylamino]- (CA INDEX NAME)

ANSWER 19 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN SSION NUMBER: 1994:426465 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: 121:26465 121:4633a,4636a

TITLE: Benzofuran based non-peptide antagonists of angiotensin II related to GR117289: Part IV:

Imidazopyridinylbenzofurans Judd, D. B.; Cardwell, K. S.; Panchal, T. A.; Jack, AUTHOR(S):

I.; Pass, M.; Hubbard, T.; Dean, A. W.; Butt, A. U.; Hobson, J. E.; et al. Glaxo Group Res., Ware/Herts, SG12 CDP, UK Bioorganic & Medicinal Chemistry Letters (1994), CORPORATE SOURCE:

SOURCE: 4(5).

725-8 CODEN: BMCLE8; ISSN: 0960-894X Journal English

DOCUMENT TYPE: LANGUAGE:

The identification of a series of imidazopyridinylbenzofurans (I, Rl = H Me, COZH, or CH2OH) as potent, non-peptide antagonists of angiotensin II is described. Several of these compds. decrease the blood pressure in AB

the renal artery ligated rat model of hypertension after oral administration. (J, R1 = Me or CH2OH) have high bioavailability and metabolic stability and low plasma clearance in rats. 155930-55-5 155930-56-6

IT

130330-30-3 150330-36-6 RL: BIOL (Biological study) (anthypertensive and angiotensin II receptor antagonist activities and

RN

pharmacokinetics of)
155930-55-5 CAPLUS
Methanesulfonamide, N-[3-bromo-5-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-6-benzofuranyl]-1,1,1-trifluoro- (CA INDEX NAME)

ANSWER 19 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

155930-58-8

155930-58-8 CAPLUS
Methanesulfonamide, N-[3-bromo-5-[(2-ethyl-7-methyl-3H-imidazo[4,5-b)pyxidin-3-y1)methyl]-6-benzofuranyl]-1,1,1-trifluoro- (CA INDEX NAME)

OS.CITING REF COUNT: RECORD

THERE ARE 5 CAPLUS RECORDS THAT CITE THIS

(5 CITINGS)

5

ANSWER 19 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

155930-56-6 CAPLUS Methanesulfonamide, N-[3-bromo-5-[[2-ethyl-5-(hydroxymethyl)-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]-6-benzofuranyl]-1,1,1-trifluoro- (CA INDEX NAME)

IT

155930-57-7 155930-58-8 RL: BIOL (Biological study) (antihypertensive and angiotensin II receptor antagonist activities

RN

155930-57-7 CAPLUS 3H-Imidazo[4,5-b]pyridine-5-carboxylic acid, CN

3-[[3-bromo-6-[[(trifluoromethyl)sulfonyl]amino]-5-benzofuranyl]methyl]-2-ethyl-7-methyl- (CA INDEX NAME)

L6 ANSWER 20 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1994;260550 CAPLUS
DOCUMENT NUMBER: 120:260550
ORIGINAL REFERENCE NO.: 120:45817a,45820a
TITLE: Acidic phenols: a new class of potent nonpeptide angiotensin II receptor antagonists
AUTHOR(S): Kim, Doozeop; Mantlo, Nathan B.; Tata, J. R.; Fitch, K.; Dhanoa, D.; Owens, K.; Lavorse, D.; Siegl. P. K.
S.; Chang, R. S. L.; et al.
Dep. Expl., Merck Res. Lab., Rahway, NJ, 07065, USA
SOURCE: Bloorganic & Medicinal Chemistry Letters (1994),
4(1),

CORPORATE SOURCE: SOURCE: 4(1),

207-12 CODEN: EMCLE8; ISSN: 0960-894X Journal English

DOCUMENT TYPE: LANGUAGE:

A series of imidazo[4,5-b]pyridine-based acidic phenols are exemplified

novel non-peptide AII receptor antagonists. O,o'-substituents which affect the acidity of the phenolic portion dramatically impact the activity of the compds. The most potent antagonist in this series (I, ICSO = 5nM, rabbit aorta) exhibited good in vivo potency after both i.v. and oxal administration to conscious rats.

IT 104152-14-4P 154152-15-5P 154152-16-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and angiotensin II antagonist activity of) 154152-14-4 CAPLUS
Phenol, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-niro- (CA INDEX NAME)

154152-15-5 CAPLUS

ANSWER 20 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) Phenol, 4-[(2-ethyl-5,7-dimethyl-3-8-lmidazo[4,5-b]pyridin-3-y1)methyl]-2-nitro-6-(2-propen-1-y1)- (CA INDEX NAME)

Me N
$$CH_2$$
 CH_2 CH

154152-16-6 CAPLUS
Phenol, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-iodo-6-nitro- (CA INDEX NAME)

IT 154152-28-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dealkylation of)
RN 154152-28-0 CAPLUS
CN 3H-Inidazo(4,5-b)pyridine,
2-ethyl-5,7-dimethyl-3-[[3-nitro-4-(2-propen-1-yloxy)phenyl]methyl]- (CA INDEX NAME)

Ne N
$$CH_2$$
 CH_2 CH_2 CH_2 CH_2

OS CITING REF COUNT:

THERE ARE 2 CAPLUS RECORDS THAT CITE THIS

(2 CITINGS)

ANSWER 21 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
Incorporation of the acyl sulfonamide (CONNSO2-Ph) for the CO2H of IV not
only enhanced the AT1 potency but also effected a marked increase in the
AT2 potency of VII (AT2 IC50 = 0.74 µM of VI vs 0.2 µM of VII) and
made it the most potent AT2 antagonist in this study. Replacement of the
CO2H of with the bioisostere tetrazole resulted in III (AT1 IC50 = 15 mM)
with a 2-fold loss in the AT1 and a complete loss in the AT2 binding
affinity. (Phenylamino)phenylacetic acid IV demonstrated good oral
activity in AII-infused conscious normotensive rats at an oral dose of

mg/kg by inhibiting the pressor response for >6 h. Acyl sulfonamides VII-IX displayed excellent in vivo activity by blocking the AII-induced pressor response for >6 h after oral administration in conscious rats at

3.0 mg/kg dose level. Both acyl sulfonamides VII and IX exhibited superior in vivo activity in rats compared to that of (phenylamino)phenylacetic acid IV.
137421-62-6P 137421-72-8P 151954-46-0P
151954-7-1P 151954-88-2P 151954-49-3P
151954-50-6P 151954-51-7P

IT

151954-50-6P 151954-51-7P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and alkylation of) 137421-62-6 CAPLUS Carbamic acid, [4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

137421-72-8 CAPLUS

Benzeneacetic acid, $\alpha-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, methyl ester (CA INDEX NAME)$

 $151954-46-0 \quad CAPLUS \\ Benzeneacetic acid, \quad \alpha-[\{4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-methylphenyl]amino]-, methyl ester (CA INDEX NAME)$

ANSWER 21 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN SSION NUMBER: 1994:45190 CAPLUS MENT NUMBER: 120:45190 INAL REFERENCE NO.: 120:8047a,8050a

ACCESSION NUMBER:

DOCUMENT NUMBER: ORIGINAL REFERENCE NO.:

Non-peptide angiotensin II receptor antagonists. 2. Design, synthesis, and biological activity of N-substituted (phenylamino)phenylacetic acids and TITLE:

acyl sulfonamide:

AUTHOR(S): Dhanoa, Daljit S.; Bagley, Scott W.; Chang, Raymond L.; Lotti, Victor J.; Chen, Tsing Bau; Kivlighn,

Salab

CORDORATE SOURCE.

D.; Zingaro, Gloria J.; Siegl, Peter K. S.; Patchett, Arthur A.; Greenlee, William J. Merck Res. Lab., Rahway, NJ, 07065, USA Journal of Medicinal Chemistry (1993), 36(26), SOURCE: 4239-49

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI Journal English CASREACT 120:45190

The design, synthesis, and biol. activity of a new class of highly potent non-peptide AII receptor antagonists derived from N-substituted (phenylamino)phenylacetic acid and acyl sulfonamides which exhibit a high selectivity for the ATI receptor are described. A series of N-substituted

ostituted (phenylamino)phenylacetic acids (I) and acyl sulfonamides (II) and a tetrazole derivative (III) were synthesized and evaluated in the in vitro AT1

NRCHR¹Ph III, R=Me, R¹=5-tetrazolyl

ATI (rabbit aorta) and AT2 (rat midbrain) binding assay. The (phenylamino)phenylacetic acids I (R = Et)(IV) (ATI IC50 = 4 nM, AT2 IC50 = 0.74 μ M), I (R = allyl) (V) (ATI IC50 = 5.3 nM, ATZ IC50 = 0.49 μ M), and I (R = Pr)(VI) (ATI IC50 = 5.3 nM, ATZ IC50 = 0.56 μ M) were found to be the most potent ATI-selective AII antagonists in the acid series. Incorporation of various substituents in the central and bottom Ph rings led to a decrease in the ATI and AT2 binding affinity of the resulting compds. Replacement of the carboxylic acid (CO2H) in IV, V,

VI with the bioisostere acyl sulfonamide (CCNHSO2Ph) resulted in a (5-7)-fold increase in the AT1 potency of II (R = Et) (VII) (AT1 IC50 = 0.9 mM, AT2 IC50 = 0.2 μ M), II (R = allyl) (VIII) (AT1 IC50 = 1 μ at a 1050 = 2.9 μ M), and II (R = Fr) (IX) (AT1 IC50 = 0.8 μ M, AT2 IC50 = 0.42 μ M) and yielded acyl sulfonamides with subnanomolar AT1 activity.

ANSWER 21 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

Me N
$$\sim$$
 CH₂ \sim N \sim CH₂ \sim N \sim CH₂ \sim N \sim N \sim CH₂ \sim N \sim

151954-47-1 CAPLUS Benzeneacetic acid, $\alpha-[[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo[4,5-bl]pyridin-3-yl)methyl]-2-methylphenyl]amino]-2-methyl-, ethyl ester INDEX NAME)$

RN

 $\label{eq:continuous} \begin{tabular}{ll} 151954-48-2 & CAPLUS \\ Benzeneacetic acid, $\alpha-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]phenyl]amino]-3,5-bis(trifluoromethyl)-, methylester (CA INDEX NAME) \\ \end{tabular}$

151954-49-3 CAPLUS Benzeneacetic acid, $\alpha-[\{4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-2,5-difluoro-, ethyl ester (CA INDEX NAME)$

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{CH}_2 \\ \text{C} \\ \text{OSEt} \\ \text{NH} \\ \text{C} \\ \text$$

151954-51-7 CAPLUS Benzeneacetic acid, $\alpha = [[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-3-methyl-, ethyl ester (CA INDEX NAME)$

$$\begin{picture}(20,0) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){100$$

IT	137420-35-0P	138359-17-8P	151954-14-2P
	151954-15-3P	151954-16-4P	151954-17-5P
	151954-18-6P	151954-19-7P	151954-20-0P
	151954-22-2P	151954-23-3P	151954-24-4P
	151954-25-5P	151954-26-6P	151954-27-7P
	151954-28-8P	151954-29-9P	151954-30-2P
	151954-31-3P	151954-32-4P	151954-33-5P
	151954-34-6P	151954-35-7P	151954-36-8P
	151954-37-9P	151954-38-0P	151954-39-1P

101704-3/-9F 151954-38-0P 151954-39-1P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

ANSWER 21 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

151954-16-4 CAPLUS Benzeneacetic acid, α =[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]- (CA INDEX NAME)

151954-17-5 CAPLUS Benzeneaestic acid, $\alpha = [[4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo[4,5-b]pyridin-3-y1]methyl]phenyl]-2-propen-1-ylamino]- (CA INDEX NAME)$

151954-18-6 CAPLUS

1913/4-10-6 CAFLOS Benzeneacetic acid, α -[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]propylamino]- (CA INDEX NAME)

 $\label{eq:capacity} \begin{array}{lll} 151954-19-7 & \text{CAPLUS} \\ \text{Benzeneacetic acid, } \alpha-[\text{butyl}[4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-\text{b}]\text{pyridin-3-yl}]\text{methyl}]\text{phenyl}]\text{amino}]-& (\text{CA INDEX NAME}) \\ \end{array}$

ANSWER 21 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and angiotensin II antagonist activity of, structure in relation to) 137420-35-0 CAPLUS 2H-Tetrazole-5-methanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-methyl-a-phenyl- (CA INDEX NAME)

RN

138359-17-8 CAPLUS Benzeneacetic acid, $\alpha = [[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo}[4,5-b])]]$ b]pyridin-3-yl)methyl]phenyl](1-methylpropyl)amino]- (CA INDEX NAME)

RN

151954-15-3 CAPLUS Benzeneacetic acid, α -[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]methylamino]- (CA INDEX NAME)

ANSWER 21 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

 $151954-20-0 \quad \text{CAPLUS} \\ \text{Benzeneacetic acid, } \alpha-[[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pytdin-3-yl)methyl]}(2-\text{methylpropyl)amino]-} \quad \text{(CA INDEX NAME)}$

 $151954-22-2 \quad CAPLUS \\ Benzeneacetic acid, \\ \alpha-[(cyclopropylmethyl)[4-[(2-ethyl-5,7-dimethyl-3H-inidazo(4,5-b]yridin-3-yl)methyl]phenyl]amino] \\ (CA INDEX NAME)$

151954-23-3 CAPLUS Benzeneacetic acid, $\alpha=\lceil [4-\lceil (2-\text{ethyl}-5,7-\text{dimethyl}-3H-\text{imidazo} [4,5-\text{blypxidin-3-yl)methyl}-2-\text{methylphenyl}amino]- (CA INDEX NAME)$

 $\label{eq:continuous} \begin{array}{lll} 151954-24-4 & \text{CAPLUS} \\ \text{Benzeneacetic acid, } & \alpha=[\{4-\{(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}\},5-\text{b}\}] \\ \text{pyridin-3-yl)methyl}]-2-\text{methylphenyl}]\text{methylamino}]-& (CA INDEX NAME) \\ \end{array}$

151954-25-5 CAPLUS Benzeneacetic acid, α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-methylphenyl]amino]- (CA INDEX NAME)

RN

 $\label{lem:condition} \begin{tabular}{ll} 151954-26-6 & CAPLUS \\ Benzeneacetic acid, $$\alpha=[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-methylphenyl]-2-propen-1-ylamino]- (CA INDEX NAME) \\ \end{tabular}$

RN 151954-27-7 CAPLUS

191994-2/-/ CAPLOS Benzeneacetic acid, α-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-methylphenyl]propylamino]- (CA INDEX NAME) CN

151954-28-8 CAPLUS

Benzeneacetic acid, $\alpha-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-methylphenyl]amino]-2-methyl- (CA INDEX NAME)$

ANSWER 21 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]- (CA INDEX NAME)

151954-33-5 CAPLUS Benzeneacetic acid, α =[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-3-methyl- (CA INDEX NAME)

RN

 $\label{eq:capus} \begin{array}{lll} 151954-34-6 & \text{CAPLUS} \\ \text{Benzeneacetic acid, } \alpha-[\text{ethyl}[4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-\text{b}]\text{pyridin-3-yl})\text{methyl}]\text{phenyl}]\text{amino}-2,5-\text{difluoro-} & \text{(CA INDEX NAME)} \\ \end{array}$ CN

151954-35-7 CAPLUS Benzeneacetic acid, α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-3,5-bis(trifluoromethyl)- (CA INDEX NAME)

151954-36-8 CAPLUS Benzeneacetic acid, $\alpha\text{-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-dimethyl-3H-imi$

ANSWER 21 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

151954-29-9 CAPLUS Benzeneacetic acid, $\alpha = [4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}]4,5-\text{b]pyridin-3-yl)methyl]-2-methylphenyl]-2-propen-1-ylamino]-2-methyl- (CA INDEX NAME)$

151954-30-2 CAPLUS Benzeneacetic acid, $\alpha-[[4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-inidazo}[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-3,5-bis(trifluoromethyl)- (CA INDEX NAME)$

RN

151954-31-3 CAPLUS Benzeneacetic acid, $\alpha=[[4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-\text{b}]pyridin-3-yl)methyl]phenyl]methylamino]-2,5-difluoro- (CA INDEX NAME)$

151954-32-4 CAPLUS Benzeneacetic acid, 2-chloro- α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-

ANSWER 21 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) b]pyridin-3-y1)methyl]phenyl]-2-propen-1-ylamino]-2,5-difluoro- (CA INDEX NAME)

 $\begin{array}{lll} 151954-37-9 & \texttt{CAPLUS} \\ \texttt{Benzeneacetamide,} & \alpha-[\texttt{ethyl}[4-[(2-\texttt{ethyl-5},7-\texttt{dimethyl-3H-imidazo}[4,5-\texttt{b}]pyridin-3-yl)methyl]phenyl]amino]-N-(\texttt{phenylsulfonyl})- & (\texttt{CA INDEX NAME}) \end{array}$

 $\label{eq:capprox} \begin{array}{lll} 151954-38-0 & \text{CAPLUS} \\ \text{Benzeneacetamide, } \alpha-[[4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imida}zo[4,5-\text{b}]pyridin-3-yl)methyl]phenyl]-2-propen-1-ylamino]-N-(phenylsulfonyl)-\\ \end{array}$

(CA INDEX NAME)

151954-39-1 CAPLUS Benzeneacetamide, $\alpha-[[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo}[4,5-b]pyridin-3-yl)methyl]phenyl]propylamino]-N-(phenylsulfonyl)- (CA INDEX NAME)$

137420-35-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and angiotensin II antagonist activity of, structure in relation to)
137420-35-0 CAPLUS
2H-Tetrazole-5-methanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-methyl-α-phenyl- (CA INDEX NAME)

137421-63-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)
137421-63-7 CAPLUS
Carbamic acid, [4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{N} \end{array} \begin{array}{c} \text{Et} \\ \text{N-C-OBu-t} \\ \text{Me} \\ \text{O} \end{array}$$

137421-64-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with benzaldehyde and cyanide)
137421-64-8 CAPLUS
Benzenanine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl)-N-methyl- (CA INDEX NAME)

ANSWER 21 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

151954-41-5 CAPLUS
3H-Imidazo[4,5-b]pyridine, 2-ethyl-5,7-dimethyl-3-[(3-methyl-4-nitrophenyl)methyl]- (CA INDEX NAME)

137421-68-2P 137421-75-1P 151954-54-0P 151954-57-3P 137421-71-7P 137421-65-9P 137421-73-9P 151954-53-9P 151954-52-8P 151954-55-1P 151954-58-4P 151954-56-2P

137421-68-2 CAPLUS Benzeneacetic acid, α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, methyl ester (CA INDEX NAME)

137421-61-5P 151954-44-8P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reaction of, with bromophenylacetic acid derivs.) 137421-61-5 CAPLUS Benzenamie, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

151954-44-8 CAPLUS Benzenamine, 4-1 (2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl-2-methyl- (CA INDEX NAME)

137421-60-4P 151954-41-5P

ANSWER 21 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

137421-71-7 CAPLUS Benzeneacetic acid, $\alpha = [[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo}[4,5-b]pyxidin-3-yl)methyl]phenyl]propylamino]-, methyl ester (CA INDEX NAME)$

137421-73-9 CAPLUS Benzeneacetic acid, $\alpha=[[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl](2-methylpropyl)amino]-, methyl ester (CA$ INDEX NAME)

137421-75-1 CAPLUS Benzeneacetic acid, $\alpha=[\{4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}]4,5-b]$ pyridin-3-yl)methyl]phenyl](1-methylpropyl)amino]-, methyl ester (CA INDEX NAME)

RN

151954-52-8 CAPLUS Benzeneacetic acid, $\alpha = [4-[4-(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-b]pyridin-3-y1)methyl]phenyl]-2-propen-1-ylamino]-, methyl ester (CA INDEX NAME)$

151954-53-9 CAPLUS Benzeneacetic acid, α -[butyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, methyl ester (CA INDEX NAME)

Me N N
$$\sim$$
 CH2 N \sim Bu- \sim CH2 \sim CH2 \sim CH \sim CMe

151954-54-0 CAPLUS Benzeneacetic acid, $\alpha-[\{4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo}[4,5-b]pyridin-3-yl) methyl]-2-methylphenyl]methylamino]-, methyl ester INDEX NAME)$

151954-55-1 CAPLUS Benzeneacetic acid, α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-methylphenyl]amino]-, methyl ester (CA INDEX NAME)

ANSWER 21 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{N} \end{array} \begin{array}{c} \text{Et} \\ \text{N} \\ \text{CH}_2 \\ \text{CH}_$$

151954-60-8 CAPLUS Benzeneacetic acid, 2-chloro- α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, ethyl ester (CA

151954-61-9 CAPLUS Benzeneacetic acid, α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-3-methyl-, ethyl ester (CA INDEX NRME)

ANSWER 21 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

151954-56-2 CAPLUS Benzeneacetic acid, $\alpha-[[4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-b])pyridin-3-y1)methyl]-2-methylphenyl]-2-propen-1-ylamino]-, methyl$

(CA INDEX NAME)

151954-57-3 CAPLUS Benzeneacetic acid, $\alpha=[\{4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]-2-methylphenyl]propylamino]-, methyl ester (CA INDEX NAME)$ RN CN

151954-58-4 CAPLUS Benzeneacetic acid, $\alpha = [4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-b)] \text{pyxidin-3-yl}) \text{methyl}-2-\text{methyl}-2-\text{propen-1-ylamino}]-2-\text{methyl-ethyl}$ ethyl ester (CA INDEX NAME)

ANSWER 21 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

151954-62-0 CAPLUS Bearmannach acid, α -[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b)pyridin-3-yl)methyl]phenyl]amino]-2,5-difluoro-, ethyl ester (CA INDEX

151954-63-1 CAPLUS Benzeneacetic acid, α =[ethy1[4-[(2-ethy1-5,7-dimethy1-3H-imidazo[4,5-b]pyridin-3-y1)methy1]pheny1]amino]-3,5-bis(trifluoromethy1)-, methy1 ester (CA INDEX NAME) RN CN

$$\begin{picture}(20,0) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){100$$

151954-64-2 CAPLUS Benzeneacetic acid, $\alpha-[\{4-\{(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl\}methyl]phenyl]-2-propen-1-ylamino]-2,5-difluoro-, ethylester (CA INDEX NAME)$

ANSWER 21 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$\begin{array}{c} \text{Me} & \text{CH}_2\text{-CH} = \text{CH}_2\\ \\ \text{N} & \text{N} - \text{CH}_2 \\ \end{array}$$

тт 137421-77-3P

137421-77-3P
RE: SPN (Synthetic preparation); PREP (Preparation)
(preparation and tetrazole derivative preparation from)
137421-77-3 CAPLUS
Benzeneacetonitrile, a-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]methylamino]- (CA INDEX NAME)

THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS) OS.CITING REF COUNT:

ANSWER 22 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

IT

150479-18-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of angiotensin II antagonist)
150479-18-8 CAPLUS
Phosphonic acid, [[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]phenylmethyl]-, diethyl ester (9CI) (CA INDEX NAME)

OS.CITING REF COUNT:

THERE ARE 3 CAPLUS RECORDS THAT CITE THIS

(3 CITINGS)

ANSWER 22 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN SSION NUMBER: 1993:671155 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: 119:271155 119:48529a,48532a TITLE:

119:48529a,48532a
Preparation of
N-[(a-carboxyalkoxy)benzyl]benzimidazoles and
analogs as angiotensin II antagonists
Ries, Uwe, Narr, Berthold; Hauel, Norbert; Wienen,
Wolfgang; Van Meel, Jacques; Entzeroth, Michael
Thomae, Dr. Karl, G.m.b.H., Germany
Ger. Offen, 57 pp. Addn. to Ger. Offen. 4,123,341.
CODEN: GWXXEX

PATENT ASSIGNEE(S):

DOCUMENT TYPE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

INVENTOR(S):

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4142366	A1	19930624	DE 1991-4142366	19911220
DE 4123341	A1	19930121	DE 1991-4123341	19910715
PRIORITY APPLN. INFO.:			DE 1991-4123341	19910715

OTHER SOURCE(S): MARPAT 119:271155

RAAZBCRbRc(CH2)nRd [A = CH2, CH2CH2, CHMe, etc.; B = O, CH2, NH, NMe, etc.; Ra = substituted (benz)imidazolo, -oxoquinazolino, -quinolin-4-yloxy, etc.; R6 = CO2H, tetrazolyl, alkylsulfonylcarbamoyl, etc.; Rc = H, (ar)alkyl, aryl, CO2H, alkoxycarbonyl; Rd = (cyclo)alkyl, alkenyl, Ph, naphthyl, hetcroxyl; Z = (substituted) 1,4-phenylene; n = 0 or 1] were prepared Thus, 4-(BrH2C)C6H4OCHPhCO2Et (preparation given) AB

condensed with 2-propyl-4-methylbenzimidazole to give, after sapon, title compound I (R = H). I (R = 1-methylbenzimidazol-2-yl) had ICSO of 4 nM against angiotensin II binding at rat lung tissue prepn in vitro. $150478\!-\!13\!-\!0P$

IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BJOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as angiotensin II antagonist)
150478-13-0 CAPLUS
Phosphonic acid, [[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]mino]phenylmethyl]-, monoethyl ester, monosodium salt
(9CI) (CA INDEX NAME)

L6 ANSWER 23 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1993:449306 CAPLUS
DOCUMENT NUMBER: 119:49306
ORIGINAL REFERENCE NO.: 119:69354,8948a

TITLE: Nonpeptide angiotensin II receptor antagonists. 1.
Synthesis and in vitro structure-activity
relationships of
4-[[(1H-pyrol-1ylacetyl)amino]phenyl]methyl]imidazole derivatives as
angiotensin II receptor antagonists
AUTHOR(S): Sircar, Ila; Winters, R. Thomas; Quin, John, III; Lu,
Gina H.; Major, Terry C.; Panek, Robert L.
Dep. Chem., Parke-Davis Pharm. Res., Ann Arbor, MI,
48105, USA
Journal of Medicinal Chemistry (1993), 36(12),

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: OTHER SOURCE(S): GI English CASREACT 119:49306

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

A novel series of non-biphenylyltetrazole angiotensin II receptor antagonists which contain a 1H-pyrrol-1-ylacetyl residue in place of the benzoyl residue in EXP 6803 have been developed. The receptor binding activity of several members of this new series was in the 10-8 M range, which was better than that of EXP 6803. Introduction of a carboxylie

moiety at the 2-position of the pyrrole ring enhanced the in vitro

bindir ng affinity at the receptor by 10-fold. Compds. containing an acetic acid

=1) or a propionic acid residue I (n = 2) at the 5-position of the imidazole were more potent than the carboxylic acid analog I (n = $\,$

binding IC50 of the most potent compound I (n = 2) was 22 nM. I in their best fit conformations were manually overlayed on that of the template conformation of EXP 6803 and EXP 6823, resp. The synthesis and structure-activity relationship data are described. 137421-61-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and acylation of, with pyrrolealkanoic acids) 137421-61-5 CAPLUS Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

142219-21-4P 142219-26-9P 148550-05-4P RL: SPN (Synthetic preparation); PREF (Preparation) (preparation and angiotensin II receptor antagonist activity of) 142219-21-4 CAPLUS 1H-Pyrrole-2-carboxylic acid, 1-[2-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

 $142219-26-9 \quad CAPLUS \\ 1H-Pyrrole-2-carboxylic acid, 1-[2-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, (S)- (9CI) (CA INDEX NAME)$

Absolute stereochemistry.

148550-05-4 CAPLUS 1H-Fyrrole-1-acetic acid, 2-[[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]amino]carbonyl]- α -(phenylmethyl)-, (α S)- (α CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 24 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1993:147562 CAPLUS
DOCUMENT NUMBER: 118:147562 CAPLUS
118:147562 CAP

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. KIND DATE DATE JP 04300882 PRIORITY APPLN. INFO.: 19910323 19910323 Α 19921023

MARPAT 118:147562 OTHER SOURCE(S):

The title derivs. I [R = C1-7 alkyl(thio); Rl = carboxy, sulfoxyl; X = H, halo] and their salts, useful for treatment of hypertension and heart failure, are prepared A solution of 1.00 g 2-butylimidazo[4,5-b]pyridine (preparation given) in DMF was stirred with NaH and then treated with

(preparation given) in DMF was stirred with NaH and then treated with g 4-nitrobenzyl bromide at 0° for 5 h to give 0.78 g 2-butyl-3-(4-nitrobenzyl)imidazo[4,5-b]pyridine, 1.03 g of which was heated with Fe and AcOH in MeOH under reflux for 6 h to give 0.84 g 2-butyl-3-(4-aminobenzyl)imidazo[4,5-b]pyridine (II). Then, 3.0 g II was treated with 2.6 g 2-sulfobenzoic anhydride in CH2C12 at room temperature overnight to give 3.4 g I (R = Bu, RI = S03H, X = H), which inhibited angiotensin II binding in rat adrenal cortical microsomes with an ICSO of 0.034 MM. Grant 1.5 g 1.5

ANSWER 23 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

IT 137421-60-4P
RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 137421-60-4 CAPLUS
CN 3H-Inidazo[4,5-b]pyridine,
2-ethyl-5,7-dimethyl-3-[(4-nitrophenyl)methyl](CA INDEX NAME)

THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS) OS.CITING REF COUNT:

ANSWER 24 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

146555-61-5 CAPLUS Benzenamine, 4-[(2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

146555-58-0P 146555-59-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reduction of)
146555-58-0 CAPLUS
3H-Imidazo[4,5-b]pyridine, 2-butyl-3-[(4-nitrophenyl)methyl]- (CA INDEX NAME) IT

NAME)

146555-59-1 CAPLUS
3H-Imidazo[4,5-b]pyridine, 3-[(4-nitrophenyl)methyl]-2-propyl- (CA INDEX NAME)

146555-62-6P 146555-63-7P 146555-64-8P 146555-65-9P 146555-66-0P 146555-67-1P 146555-68-2P 146555-69-3P 146555-70-6P RE: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as angiotensin II receptor blocker) 146555-62-6 CAPLUS Benzoic acid, 2-[[[4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-

ANSWER 24 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN yl)methyl]phenyl]amino]carbonyl]- (CA INDEX NAME) (Continued)

146555-63-7 CAPLUS
Benzoic acid, 3,6-dichloro-2-[[[4-[(2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]carbonyl]- (CA INDEX NAME)

146555-64-8 CAPLUS
Benzoic acid, 2-[[[4-[[2-(propylthio)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]amino]carbonyl]- (CA INDEX NAME)

146555-65-9 CAPLUS Benzoic acid, 2-[[[4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-y])methyl]phenyl]amino]carbonyl]-3,6-dichloro- (CA INDEX NAME)

RN 146555-66-0 CAPLUS

ANSWER 24 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

146555-70-6 CAPLUS
Benzenesulfonic acid, 2-[[[4-[[2-(ethylthio)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]amino]carbonyl]- (CA INDEX NAME)

OS.CITING REF COUNT:

THERE ARE 1 CAPLUS RECORDS THAT CITE THIS

(1 CITINGS)

ANSWER 24 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) CN Benzoic acid, (2-1) (ethylthio)-3H-imidazo[4,5-b]pyridin-3-y-l]methyl]phenyl]amino]carbonyl]- (CA INDEX NAME)

146555-67-1 CAPLUS
Benzenesulfonic acid, 2-[[[4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]carbonyl]- (CA INDEX NAME)

146555-68-2 CAPLUS
Benzenesulfonic acid, 2-[[[4-[(2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]carbonyl]- (CA INDEX NAME)

146555-69-3 CAPLUS Benzenesulfonic acid, 2-[[[4-[[2-(propylthio)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]amino]carbonyl]- (CA INDEX NAME)

L6 ANSWER 25 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1992:469865 CAPLUS
DOCUMENT NUMBER: 117:69865
I17:69865
I17:12295a,12298a
ITILE: derivatives as angiotensin II antagonists
SINVENTOR(S): Sircar, Ila
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
POT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PA:	TENT I	. OF			KINI)	DATE		API	PLICAT	ION NO.		DATE
							-							
	WO	9206	081			A1		1992	0416	WO	1991-	US6798		19910919
		W:	AU,	CA,	CS,	FI,	HU,	JP,	KR,	NO, St	J			
		RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, GI	R, IT,	LU, NL,	SE	
	US	5242	939			A		1993	0907	US	1991-	757021		19910913
	AU	9186	598			A		1992	0428	AU	1991-	86598		19910919
PRIO	RIT:	Y APP	LN.	INFO	. :					US	1990-	590626	A	19900928
										US	1991-	757021	A	19910913
										WO	1991-	US6798	A	19910919

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 117:69865 OTHER SOURCE(S): GI

AB Title compds. I [X, X1 = C, N; R, R1 = H, halo, C1-6 alkyl, alkoxycarbonyl, carboxyalkyl, trihalomethyl, perfluoroethyl, cyano, CH2CN, alkoxymethyl, hydroxymethyl, CO2H, etc.; R1 is absent when X = N; RR1 =

or 6-membered (substituted)(hetero)aryl ring when X=C; R, R1=vinyl, C2-10 alkynyl, aryl, heteroaryl, etc., when X=C; R2=Pr, Bu,

ANSWER 25 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continuecycloalkyl, allyl, propargyl, SMe, CMe, etc.; R3 = CR4R4R6; R4 = L6

C1-6

alkyl, (substituted) aryl, etc., when R5 = H; R6 = cyano, C02H, tetrazolyl, etc.; or R5R6 = CO, C1NOH) were prepd. as angiotensin II antagonists useful as antihypertensives. Thus, L-phenylalanine was cyclocondensed with Me 2,5-dimethoxytetrahydrofuran-2-carboxylate to give 2-(methoxycarbonyl)-a-phenylmethyl-1H-pyrrole-1-acetic acid. This was coupled with

1-((4-aminophenyl)methyl)-2-butyl-4-chloro-1H-imidazole-5-methanol (prepn. given) in the presence of 1-hydroxybenzotriazole and DCC to give title compd. (S)-II. The latter was effective at 0.21 µM in vitro in inhibiting binding of 3H-angiotensin II to rat liver membranes.

IT 142219-21-4P 142219-26-99

RL: BAC (Biological activity or effector, except adverse); BSU (Blological)

logical study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as angiotensin II antagonist) 142219-21-4 CAPLUS 14-219-21-4 CAPLUS 1H-Pyrrole-2-carboxylic acid, 1-[2-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]amino]-2-oxo-1- (phenylmethyl)ethyl]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

 $142219-26-9 \quad CAPLUS \\ 1H-Pyrrole-2-carboxylic acid, 1-[2-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, (S)- (9CI) (CA INDEX NAME)$

Absolute stereochemistry.

тт 137421-60-4P 137421-61-5P

IT 137421-60-4P 137421-61-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for angiotensin II antagonists)
RN 137421-60-4 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine,
2-ethyl-5,7-dimethyl-3-[(4-nitrophenyl)methyl](CA INDEX NAME)

L6 ANSWER 26 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1992:426566 CAPLUS
DOCUMENT NUMBER: 117:26566
ORIGINAL REFERENCE NO.: 117:4803a,4806a
TITLE: Preparation of [(tetrazolylpyrrolyl)benzyl]imidazopyridines and related compounds as angiotensin II antagonists
INVENTOR(S): Oku, Teruo; Setoi, Hiroyuki; Kayakiri, Hiroshi;
Satoh, Satoh,

Shigeki; Inoue, Takayuki; Saitoh, Yuki; Kuroda, Akio; Tanaka, Hirokazu Fujisawa Pharmaceutical Co., Ltd., Japan Eur. Pat. Appl., 84 pp. CODEN: EPXXDW Patent English PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.				
EP 480204 EP 480204	A1 199	20415 EP	1991-115644	19910914
D. 37 DE CU	DE DE EG	ED OD O	R, IT, LI, LU, NL,	SE
R: AI, BE, CH, US 5210092 AU 9183454	A 199	30511 US	1991-748954	19910823
AU 9183454	A 199	20402 AU	1991-83454	19910830
MO 630473	D2 122	40023		
FI 9104163	A 199	20326 FI	1991-4163	19910904
ZA 9107228	A 199	20729 ZA	1991-7228	19910911
AT 135701 CN 1059723	T 199	60415 AT	1991-115644	19910914
CN 1059723	A 199	20325 CN	1991-109182	19910924
CA 2052125				
NO 9103750				
HU 59404	A2 199	20528 HU	1991-3052	19910924
JP 04288075	A 199	21013 JP	1991-317589	19910924
PRIORITY APPLN. INFO.:			1990-20838	
		GB	1990-23467	A 19901029
		GB	1990-28216	A 19901231
		GB	1991-3874	A 19910225
		GB	1991-6956	A 19910403
		GB	1991-7231	A 19910405
		GB	1991-12803	A 19910614

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 117:26566

GI For diagram(s), see printed CA Issue.

B Title compds. [I, Rl = H, halo, NO2, alkyl, alkoxy, (acyl)amino; R2-R4 = H, halo, NO2, cyano, alkyl, alkenyl, alkylthio, haloalkyl, oxoalkyl, hydroxyalkyl, (esterified) carboxy, R2R3 = CH:CREHCH, R5 = H, protecting group; A = alkylene; Q, X = CH, N; Y = NH, O, S; Z = atoms to complete a (substituted) (condensed) imidazolyl], were prepared Thus, 3-[4-[4-bromo-2-oyano-1-pyrrolyl]benzyl-2-butyl-7-methyl-3H-imidazo[4,5-b]pyridine was heated with Me3SnN3 in xylene to give title compound II.

ANSWER 25 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

137421-61-5 CAPLUS
Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

OS.CITING REF COUNT: RECORD THERE ARE 2 CAPLUS RECORDS THAT CITE THIS

(3 CITINGS)
THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

FORMAT

L6 ANSWER 26 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) inhibited angiotensin II in guinea pig ileal strips with IC50 = 1.7 + 10-9M.

IT 142014-19-5P 142014-23-1P 142014-24-2P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study); PREP (Preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as angiotensin II antagonist)
RN 142014-19-5 CAPLUS
CN 3H-Inidazo[4,5-b]pyridine, 2-butyl-7-methyl-3-[(3-nitro-4-[2-(2H-tetrazol-5-y1)-1H-pyrrol-1-y1]phenyl]methyl]- (CA INDEX NAME)

$$N = N \\ N = CH_2 \\ NO_2$$

RN 142014-23-1 CAPLUS CN 3H-Imidazo[4,5-b]pyridine, 2-butyl-7-methyl-3-[[3-nitro-4-[2-(2H-tetrazol-5-y1)-1H-pyrrol-1-y1]phenyl]methyl]-, sodium salt (1:1) (CA INDEX NAME)

• Na

142014-24-2 CAPLUS Acetamide, -[(2-butyl-7-methyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-2-[2-(2H-tetrazol-5-yl)-1H-pyrrol-1-yl]phenyl]-, sodium salt (1:1) (CA INDEX NAME)

• Na

142045-36-1 CAPLUS 1H-Pyrrole-2-carbonitrile, 1-[4-[(2-butyl-7-methyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]-2-nitrophenyl]- (CA INDEX NAME)

OS CITING REE COUNT:

12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

ANSWER 27 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN SSION NUMBER: 1991:656165 CAPLUS MENT NUMBER: 115:256165 INAL REFERENCE NO.: 115:43561a,43564a ACCESSION NUMBER:

DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: TITLE:

Preparation of N-benzylated imidazopyridines and benzimidazoles as angiotensin II antagonists Greenlee, William J.; Patchett, Arthur A.; Hangauer, David; Walsh, Thomas; Fitch, Kenneth J.; Rivero, INVENTOR(S):

Ralph

A.; Dhanoa, Daljit S. Merck and Co., Inc., USA PCT Int. Appl., 401 pp. CODEN: PIXXD2 Patent PATENT ASSIGNEE(S):

DOCUMENT TYPE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

	PAT	ENT I	MO.			KINI)	DATE		A.	PΕ	PLICATION NO.			DATE
							-								
	WO	91111	999			A1		1991	0822	W	0	1991-US957			19910211
		W:	CA,	JP											
		RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, IT, LU, NL,	SE		
	CA	2075	527			A1		1991	0814	C.	Α	1991-2075627			19910211
	CA	2075	637			A1		1991	0814	C.	Α	1991-2075637			19910211
	EP	5178	12			A1		1992	1216	E	P	1991-905733			19910211
		R:	CH.	DE.	FR.	GB.	IT.	LI,	NL						
	JP	0550				T			0729	J.	P	1991-505964			19910211
	US	5240	938			A		1993	0831	T.T.	S	1991-744557			19910813
	US	5264	439					1993	1123	TT.	S	1991-744138			19910813
		5449						1995				1993-61975			19930517
PRIOR				TNFO				1000	0,10			1990-479786			
1111011											_	1330 173700			13300210
										547	_	1991-US957		T.T	19910211
										141	_	1991-03937		14	19910211
										**		1991-671551		no.	10010210
										U	3	1991-0/1001		Б2	19910319
										**		1001 571550			10010710
										U	5	1991-671552		ь2	19910319
										U	S	1991-744557		A3	19910813

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 115:256165

(Continued) ANSWER 27 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN

Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, (hetero)aryl, perfluoroalkyl; R9, R10 = H, (cycloalkyl)alkyl, alkenyl, alkynyl, halo, alkoxy, perfluoroalkyl, (alkyl)cycloalkyl, aryl; adjacent R9R10 = CH.CHCH.CH; R11, R12 = H, (substituted) alkyl, aryl; arylalkyl, cycloalkyl; B = bond, SOn(CH2)s, O; n = 0-2; s = 0-5; X = O, SOn, imino, CH2O, CH2, CH2CH2, bond SOnCH2, etc.; Y = bond, SOn imino, CH2; Z = CO2H, alkoxycarbonyl, tetrazol-5-yl, arylsulfonylcarbamyl, P(O) (OH12, etc.; Al-A2-A3-A4-A5 = moieties to complete (substituted) benzene or heterocyclic (e.g., pyridine) rings], were prepared as antihypettensives, nootropics, anxiolytics, and antidepressants (no data). Thus, 2-butylbenzimidazole and 4-(PhCH2O) CGH4Cl were condensed to give 96% N-benzylated product, which was hydrogenolyzed (83%) followed by condensation with BrCHPhCO2Me (17%) and saponification (30%) to give e compound

title compound

II. 137420-34-9P 137420-35-0P 138359-17-8P TT 151954-14-2P 151954-17-5P 151954-22-2P 151954-15-3P 151954-18-6P 151954-16-4P 151954-20-0P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as angiotensin II antagonist) 137420-34-9 CAPLUS Benzeneacetic acid, α=[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl](1-methylethyl)amino]- (CA INDEX NAME)

137420-35-0 CAPLUS 2H-Tetrazole-5-methanamine, N-[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-methyl- α -phenyl- (CA INDEX NAME)

ANSWER 27 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

138359-17-8 CAPLUS Benzeneacetic acid, $\alpha = [[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pytdin-3-yl)methyl]phenyl](1-methylpropyl)amino]- (CA INDEX NAME)$

151954-14-2 CAPLUS Benzeneacetic acid, α -[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]phenyl]amino]- (CA INDEX NAME)

151954-15-3 CAPLUS

Benzeneacetic acid, α-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]methylamino]- (CA INDEX NAME)

151954-16-4 CAPLUS Benzeneacetic acid, $\alpha-[ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-dimethyl-3H-$

- ANSWER 27 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN b]pyridin-3-y1)methyl]phenyl]amino]- (CA INDEX NAME) (Continued)

- $\label{eq:continuous} \begin{array}{lll} 151954-17-5 & \text{CAPLUS} \\ \text{Benzeneacetic acid, } \alpha-[\,[4-[\,(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}\,[4,5-\text{b}]\text{pyridin-3-yl}\,)\,\text{methyl}\,]\text{phenyl}\,]-2-\text{propen-1-ylamino}\,]- & (CA INDEX NAME) \\ \end{array}$

- 151954-18-6 CAPLUS Benzeneacetic acid, $\alpha = [[4-[(2-\text{ethyl}-5,7-\text{dimethyl}-3H-\text{imidazo}[4,5-\text{blypxidin}-3-y1)\text{methyl}]$ phenyl]propylamin) (CA INDEX NAME)

- 151954-20-0 CAPLUS RN
- 151954-20-0 CAPLUS Benzeneacetic acid, $\alpha-[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl](2-methylpropyl)amino]- (CA INDEX NAME)$ CN

- 151954-22-2 CAPLUS Benzeneacetic acid, $\alpha=[(cyclopropylmethy1)[4-[(2-ethy1-5,7-dimethy1-5)]]$
- ANSWER 27 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) yl)methyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

- 137421-63-7 CAPLUS Carbamic acid, [4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

- RN
- 137421-64-8 CAPLUS
 Benzenamine, 4-((2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-M-methyl- (CA INDEX NAME)

- 137421-65-9 CAPLUS Benzeneacetic acid, $\alpha-[[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo}[4,5-b]pyxidin-3-yl)methyl]phenyl]methylamino]-, methyl ester (CA INDEX NAME)$

137421-66-0 CAPLUS

ANSWER 27 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) 3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]- (CA INDEX NAME)

IT	137421-60-4P	137421-61-5P	137421-62-6P
	137421-63-7P	137421-64-8P	137421-65-9P
	137421-66-0P	137421-67-1P	137421-68-2P
	137421-69-3P	137421-70-6P	137421-71-7P
	137421-72-8P	137421-73-9P	137421-74-0P
	137421-75-1P	137421-76-2P	137421-77-3P

137421-75-1P 137421-76-2P 137421-77-3P
137445-56-8P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREF (Preparation); USES (Uses)
(preparation of, as intermediate for angiotensin II antagonist)
RN 137421-60-4 CAPLUS
CN 3H-Imidazo(4,5-b)pyridine,
2-ethyl-5,7-dimethyl-3-[(4-nitrophenyl)methyl](CA INDEX NAME)

- 137421-61-5 CAPLUS Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl] (CA INDEX NAME)

- $137421-62-6 \quad CAPLUS \\ Carbamic acid, \quad [4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-b] \\ Carbamic acid, \quad [4-[(2-ethyl-5,4-b]pyridin-3-b] \\ Carbamic acid, \quad [4-[(2-ethyl-5,4-b]pyridin-$
- ANSWER 27 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
 Carbamic acid, ethyl[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

- 137421-67-1 CAPLUS
 Benzenamine, N-ethyl-4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (CA INDEX NAME)

- $\label{eq:capital} \begin{array}{lll} 137421-68-2 & \text{CAPLUS} \\ \text{Benzeneacetic acid, } \alpha-[\text{ethyl}[4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-\text{b}]\text{pyridin-3-yl})\text{methyl}]\text{phenyl}]\text{amino}]-, \text{ methyl ester} & \text{(CA INDEX NAME)} \\ \end{array}$

- 137421-69-3 CAPLUS
 Carbamic acid, [4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phonyl]propyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

137421-70-6 CAPLUS
Benzenamine, 4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-propyl- (CA INDEX NAME)

137421-71-7 CAPLUS Benzeneacetic acid, $\alpha = [[4-[(2-\text{ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyxidin-3-yl)nethyl]phenyl]propylamino]-, methyl ester (CA INDEX NAME)$

137421-72-8 CAPLUS

13/421-72-8 CAPLOS
Benzeneacetic acid, $\alpha = [4-[(2-\text{ethyl-5}, 7-\text{dimethyl-3H-imidazo}[4,5-b])pyridin-3-y1)methyl]phenyl]amino]-, methyl ester (CA INDEX NAME)$

RN 137421-73-9 CAPLUS

ANSWER 27 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

137421-77-3 CAPLUS Benzeneacetonitrile, $\alpha = [[4-[(2-\text{ethyl-5},7-\text{dimethyl-3H-imidazo}[4,5-\text{b]pyridin-3-yl)methyl]methylmino]- (CA INDEX NAME)$

137445-56-8 CAPLUS RN

13/49-36-8 CAPLUS Benzeneacetic acid, α-[2-propen-1-y1[4-[(2,5,7-trimethyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]phenyl]amino]-, methyl ester (CA INDEX

OS.CITING REF COUNT: RECORD

THERE ARE 8 CAPLUS RECORDS THAT CITE THIS

REFERENCE COUNT:

(8 CITINGS)
THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 27 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) Benzeneacetic acid, $\alpha = [4-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-y1)methyl]phenyl](2-methylpropyl)amino]-, methyl ester (CA TURDEY NUMBER)$

137421-74-0 CAPLUS Benzeneacetic acid, α =[(cyclopropylmethyl)[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]amino]-, methyl ester (CA INDEX NAME)

137421-75-1 CAPLUS Benzeneacetic acid, $\alpha=[4-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl](1-methylpropyl)amino]-, methyl ester (CA INDEX NAME)$

137421-76-2 CAPLUS Benzeneacetic acid, α -[[4-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl](1-methylethyl)amino]-, methyl ester (CA INDEX NAME)

L6 ANSWER 28 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1974:497744 CAPLUS
DOCUMENT NUMBER: 81:97744
ORIGINAL REFFERNCE NO: 81:15441a,15444a
TITLE: Masked antifogging agents
INVENTOR(S): Bloom, Stanley M.; Rogers, Howard Gardner
PATENT ASSIGNEE(S): Polaroid Corp.
SOURCE: Brit., 17 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1356142	A	19740612	GB 1971-9593	19710415
PRIORITY APPLN. INFO.:			GB 1971-9593	19710415

AB Benzotriazole antifoggants were masked at the active site by reacting with

with

alkyl- or (alkoxysulfonamido)benzoyl chloride. The masking chain was
removed at a temperature dependent rate in aqueous alkaline developing
solns. Thus,

6-bromo-3-[4-(hexadecanesulfon-amido)benzoyl]-5-methyl-4-azabenzimidazole, added to a diffusion transfer photog. emulsion, made the antifoggant available in greater quantities at higher processing temps. giving improved color balance in the developed product, and an addnl. degree of stabilization over the unmasked antifoggant. Preparation of 7 other masked

antifoggants was described.

antifoggants was described.

IT 33007-71-5
R1: USES (Uses)
(photog. fog inhibitor precursor, alkaline solution-activatable)
RN 33007-71-5 CAPLUS
CN 1-Hexadecanesulfonamide,
N-[4-[(6-bromo-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)carbonyl]phenyl]- (CA INDEX NAME)

L6 ANSWER 29 OF 29 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1971:428258 CAPLUS
DOCUMENT NUMBER: 75:28258
ORIGINAL REFERENCE NO.: 75:4451a, 4454a
TITLE: Photographic products comprising alkali-hydrolyzable antifoggant precursors
INVENTOR(S): Bloom, Stanley M.; Rogers, Howard Gardner
PATENT ASSIGNEE(S): Polaroid Corp.
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3575699	A	19710420	US 1968-756838	19680903
PRIORITY APPLN. INFO.:			US 1968-756838 A	19680903

GI For diagram(s), see printed CA Issue.

AD Deactivated antifoggants for incorporation in a photog, system such as a diffusion transfer film have the general formula I, where Y is CH or N, X is N or CR and R is H or alkyl of less than C6, and Z is an alkali-hydrolysable deactivating group. Z is removed by hydrolysis on contact with the alkaline processing composition at a rate dependent on temperature and independent of exposure and development. Z is comprised of acyl or B-acylalkyl groups.

AL: USES (Uses)

(photographic emulsions fog inhibitor precursor)

EN 33007-71-5 CAPLUS

EN 1-dexadecanesulfonamide,

N-[4-[(6-bromo-5-methyl-3H-imidazo[4,5-b]pyridin-3-yl)carbonyl]phenyl]- (CA INDEX NAME)

THERE ARE 3 CAPLUS RECORDS THAT CITE THIS OS.CITING REF COUNT: 3

(3 CITINGS)

=>

=> log y

=> 10g y		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	200.40	424.07
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-25.23	-25.23

STN INTERNATIONAL LOGOFF AT 10:31:35 ON 11 FEB 2011